FILE 'HOME' ENTERED AT 15:58:33 ON 25 AUG 2004

=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:58:41 ON 25 AUG 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 AUG 2004 HIGHEST RN 732209-96-0
DICTIONARY FILE UPDATES: 24 AUG 2004 HIGHEST RN 732209-96-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

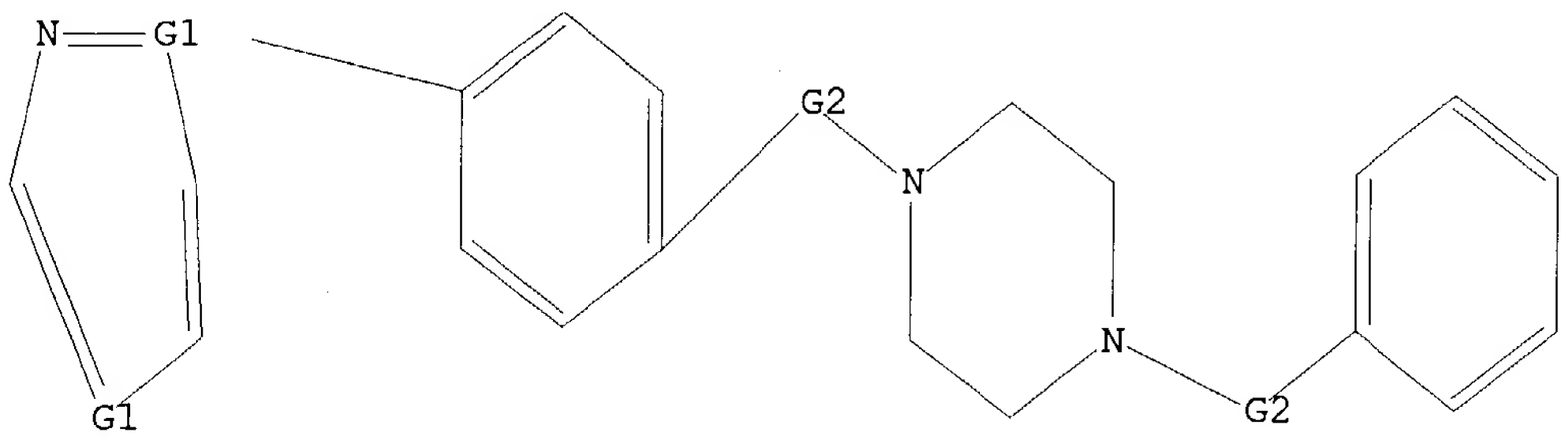
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\963686.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,N

G2 C,O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
FULL SEARCH INITIATED 15:59:05 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 85471 TO ITERATE

100.0% PROCESSED 85471 ITERATIONS 151 ANSWERS
SEARCH TIME: 00.00.01

L2 151 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 15:59:12 ON 25 AUG 2004

FILE COVERS 1907 - 25 Aug 2004 VOL 141 ISS 9
FILE LAST UPDATED: 24 Aug 2004 (20040824/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 11 L2

=> d l3 1-11 ibib abs hitstr

L3 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:675809 CAPLUS
DOCUMENT NUMBER: 137:206568
TITLE: Solid dispersion compositions containing hydroxypropyl methyl cellulose phthalate
INVENTOR(S): Bateman, Nicola; Cahill, Julie
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002067904	A1	20020906	WO 2002-SE327	20020225

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

assigned data not good + complex compound

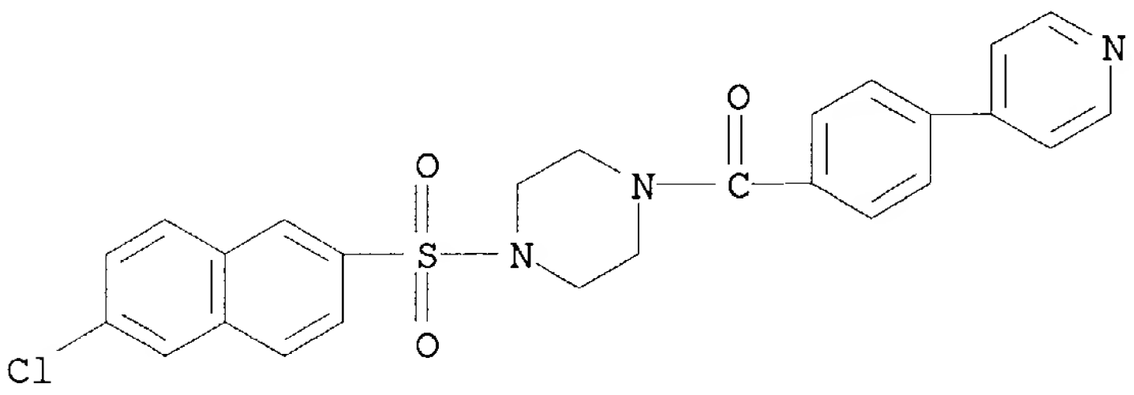
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1365746	A1	20031203	EP 2002-700946	20020225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002006960	A	20040309	BR 2002-6960	20020225
NO 2003003782	A	20030826	NO 2003-3782	20030826
US 2004138231	A1	20040715	US 2004-468246	20040209
PRIORITY APPLN. INFO.:			GB 2001-4752 A	20010227
			WO 2002-SE327 W	20020225

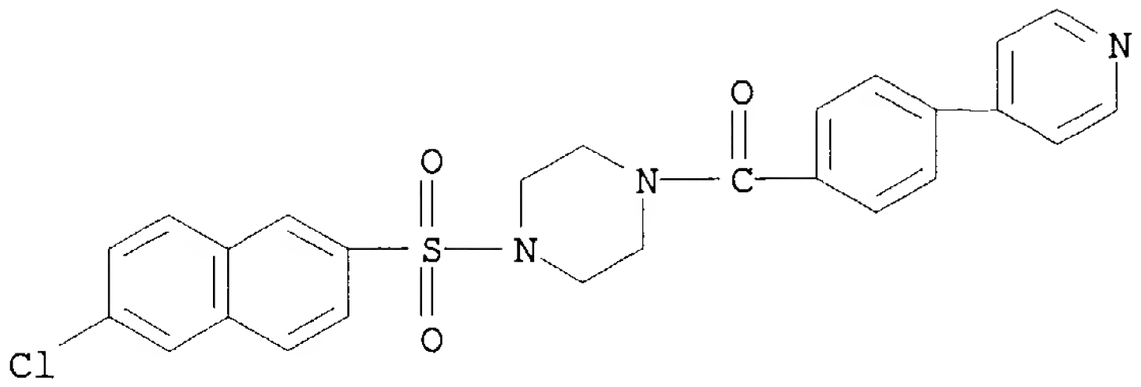
AB The invention relates to pharmaceutical compns., in particular, oral compns. which comprise a solid dispersion of a hydroxypropyl Me cellulose phthalate polymer, preferably HP-55 or HP-55S, and a drug which has pH-sensitive solubility 1-(6-Chloronaphth-2-ylsulfonyl)-4-[4-(4-pyridyl)benzoyl]piperazine-HCl 0.5 g, and 2.5 g polymer (HP-55S) were dissolved in 63 mL MeOH/CH2Cl2 (1:1). The solvent was removed and the formulation was dried under high vacuum at 40° for 24 h. The formulation was then dry milled, and dried for a further 24 h under high vacuum. The formulations were weighed into hard gelatin capsules and dissolved in 0.1N HCl for 1 h at 37°. All solid dispersion formulations show a significant improvement over the drug in suspension. A reduction in the levels of supersatn. (percent released) was seen as the amount of polymer present in the formulation was decreased.

IT **207798-71-8 222984-78-3**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid dispersion compns. containing hydroxypropyl Me cellulose phthalate)

RN 207798-71-8 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 222984-78-3 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:368345 CAPLUS
DOCUMENT NUMBER: 136:374861
TITLE: Oral pharmaceutical composition containing a block copolymer
INVENTOR(S): Bateman, Nicola; Cahill, Julie
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002038184	A1	20020516	WO 2001-SE2470	20011107
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002014466	A5	20020521	AU 2002-14466	20011107
EP 1343530	A1	20030917	EP 2001-983010	20011107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015204	A	20040203	BR 2001-15204	20011107
JP 2004513154	T2	20040430	JP 2002-540766	20011107
US 2004076673	A1	20040422	US 2003-415677	20030430
NO 2003002070	A	20030707	NO 2003-2070	20030508

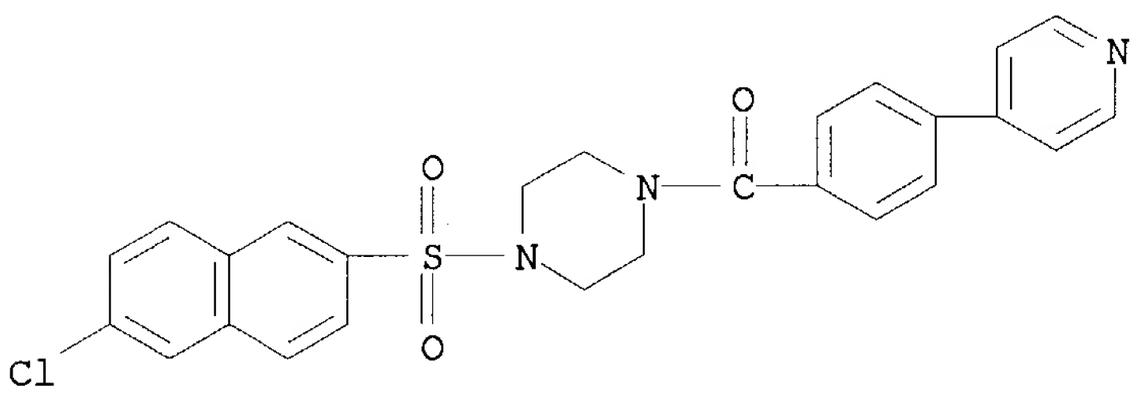
PRIORITY APPLN. INFO.:

GB 2000-27375	A	20001109
GB 2001-4751	A	20010227
WO 2001-SE2470	W	20011107

AB Oral pharmaceutical compns. with improved bioavailability comprise a water miscible micelle-forming block copolymer and a drug. The copolymer can be a diblock, triblock, or multiblock copolymer. A block segment may be, e.g., poly(L-lactide), poly(D-, L-, or DL-lactic acid) or polyethylene glycol.

A-Assigned
Data not good
- complex composition

IT **207798-71-8**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of oral pharmaceutical composition containing block copolymers with
improved bioavailability)
RN 207798-71-8 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:256243 CAPLUS
DOCUMENT NUMBER: 136:294851
TITLE: Preparation of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders
INVENTOR(S): Zhu, Bing-Yan; Jia, Zhaozhong Jon; Zhang, Penglie; Huang, Wenrong; Wu, Yanhong; Zuckett, Jingmei Fan; Goldman, Erik A.; Wang, Lingyan; Song, Yonghong; Scarborough, Robert M.
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

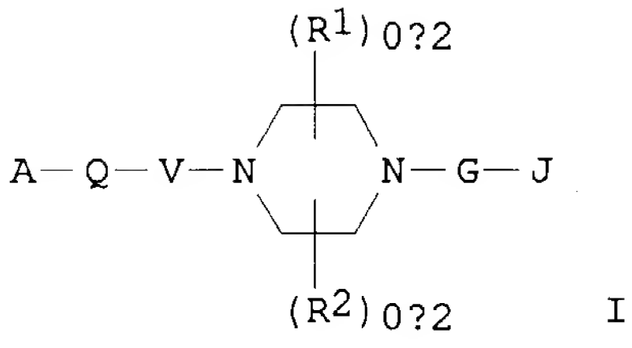
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026720	A2	20020404	WO 2001-US30315	20011001
WO 2002026720	A3	20021031		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1322610	A2	20030702	EP 2001-975505	20011001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004082786	A1	20040429	US 2003-381928	20031016
PRIORITY APPLN. INFO.:			US 2000-236161P	P 20000929

Date not good

WO 2001-US30315 W 20011001

OTHER SOURCE(S): MARPAT 136:294851

GI



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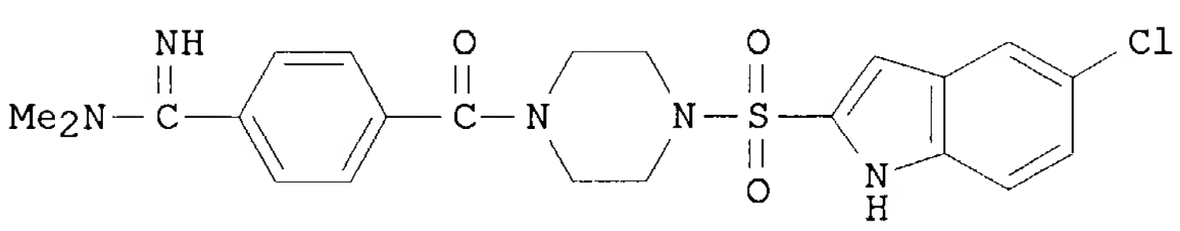


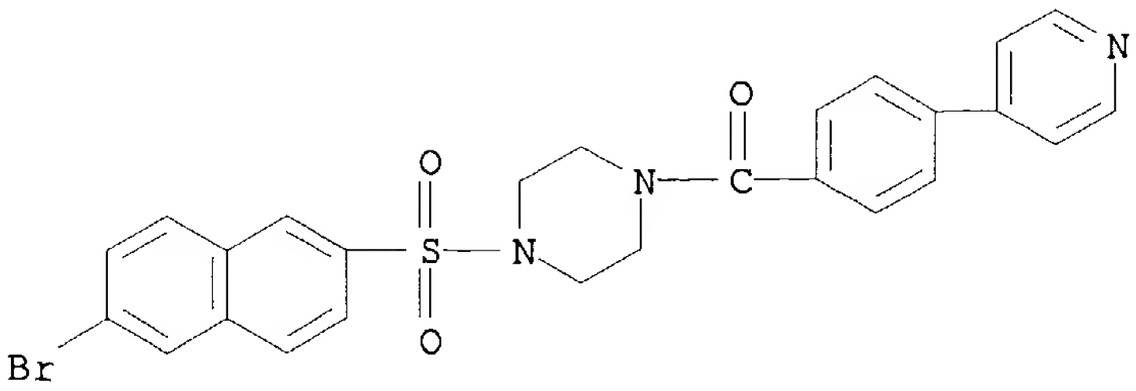
II

AB Title compds. I [wherein A = (un)substituted imidazolinyl, tetrahydropyrimidinyl, tetrahydro-1H-1,3-diazepinyl, imidamido(alkyl), guanidinyl, amino(alkyl), ammoniomethyl, Ph, pyridinyl, etc.; Q = (un)substituted phenylene, pyrimidinediyl, pyridinediyl, pyrazinediyl, pyrroledіyl, furandiyl, thiophenediyl, piperidinediyl, or pyrrolidinediyl; V = CH2 or CO; G = CO or SO2; J = (un)substituted naphthyl, (iso)quinolinyl, quinazolinyl, indolyl, benzothiophenyl, benzofuranyl, benzimidazolyl, benzothiazolyl, benzoxazolyl, etc.; R1 and R2 = independently H, alkyl, hydroxyalkyl, aminoalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, or carbamoylalkyl; and pharmaceutically acceptable isomers, salts, hydrates, solvates, and prodrugs thereof] were prepared For example, 1-Boc-5-chloro-2-indolylsulfonyl chloride was coupled with 1-Boc-piperazine in DCM in the presence of pyridine to give the sulfonamide (95%). Deprotection using HCl gas (99%), followed by acylation with 4-cyanobenzoyl chloride in pyridine in the presence of DMAP (73%) and treatment with HCl and dimethylamine, afforded II. I are highly selective inhibitors of factor Xa and are useful for the treatment of diseases characterized by undesired thrombosis or coagulation disorders (no data).

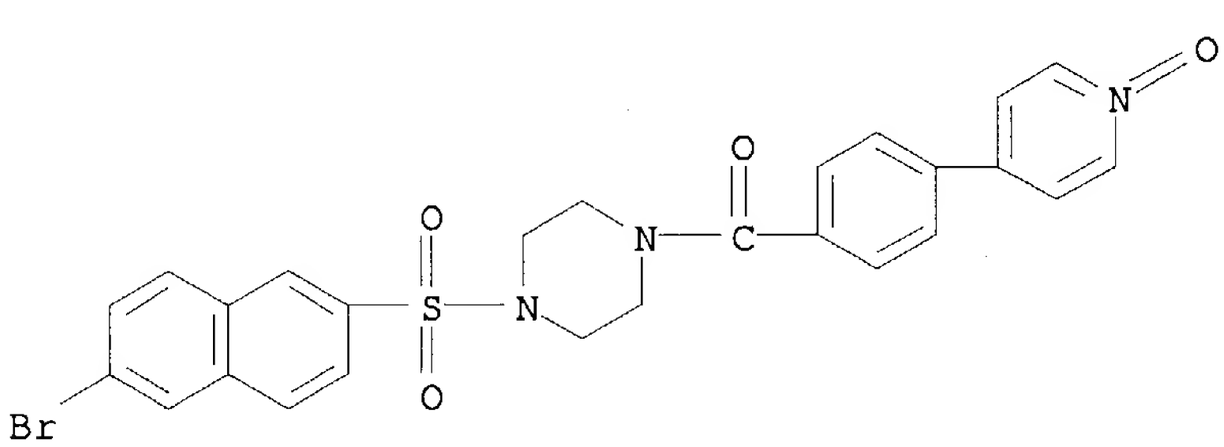
IT **207798-67-2P 207799-04-0P 207799-06-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(factor Xa inhibitor; preparation of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders)

RN 207798-67-2 CAPLUS

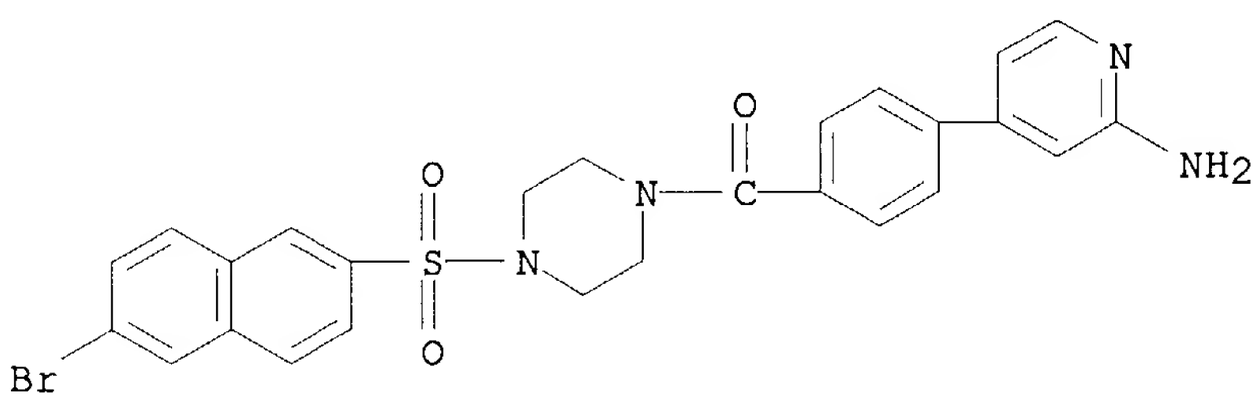
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 207799-04-0 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(1-oxido-4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 207799-06-2 CAPLUS
CN Piperazine, 1-[4-(2-amino-4-pyridinyl)benzoyl]-4-[(6-bromo-2-naphthalenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:78383 CAPLUS
DOCUMENT NUMBER: 134:163059
TITLE: Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa/IIa inhibitors
INVENTOR(S): Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 460 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001007436	A2	20010201	WO 2000-IB1156		20000726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
BR 2000013179	A	20020402	BR 2000-13179		20000726
EP 1208097	A2	20020529	EP 2000-951781		20000726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
TR 200200225	T2	20020621	TR 2002-200200225		20000726
JP 2003508353	T2	20030304	JP 2001-512520		20000726
EE 200200045	A	20030616	EE 2002-45		20000726
AU 773227	B2	20040520	AU 2000-64628		20000726
NO 2002000214	A	20020402	NO 2002-214		20020115
BG 106340	A	20021031	BG 2002-106340		20020122
ZA 2002000543	A	20030623	ZA 2002-543		20020122
PRIORITY APPLN. INFO.:			US 1999-363196	A	19990728
			WO 2000-IB1156	W	20000726

OTHER SOURCE(S): MARPAT 134:163059
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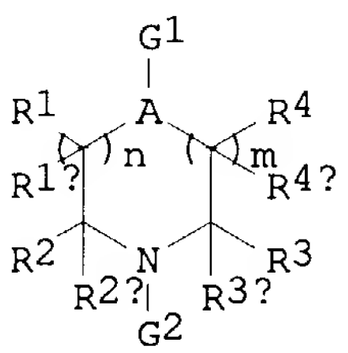

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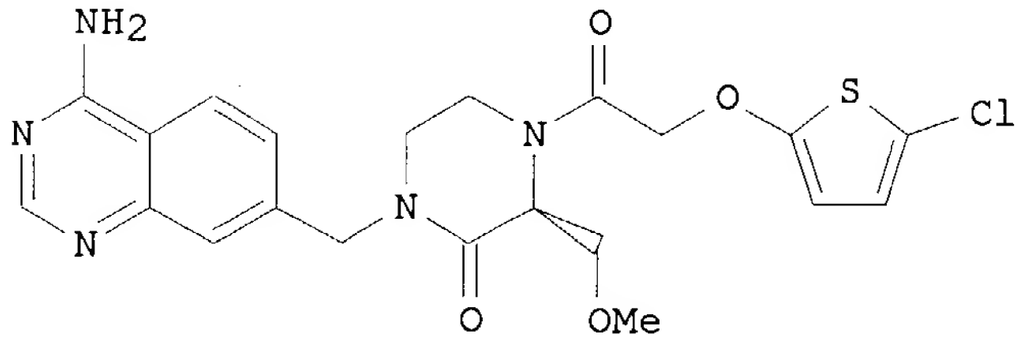


II

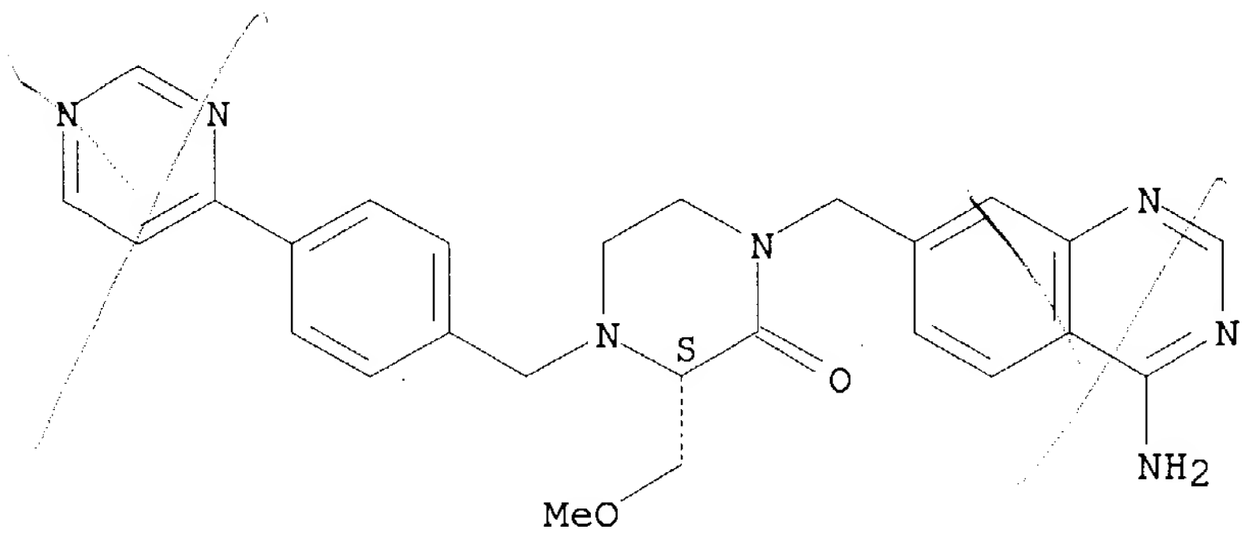
AB The invention is directed to piperazinones I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH or N; G1 and G2 = L1Cy1 or L2Cy2; Cy1 and Cy2 = (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, etc.; L1 = null, O, S, SO, SO2, or (un)substituted sulfamoyl, methylene, (alkyl)keto(alkyl), carbamoyl, etc.; L2 = null or linking group; R1, R1a, R2, R2a, R3, R3a,

R4, R4a = independently H, carboxy, alkoxycarbonyl, alkyl, (hetero)aryl, aralkyl, heteroarylalkyl, etc.; m and n = independently 0-2]. The compds. inhibit factor Xa (no data) and factor IIa, and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 1600 invention compds. and several hundred intermediates. For instance, condensation of 5-chloro-2-thienyloxyacetic acid with the corresponding N-benzyloxycarbonyl-protected piperazinone derivative (prepns. given), using DIPEA and TBTU in DMF, gave II.

IT **323582-57-6P 323582-60-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa/IIa inhibitors)

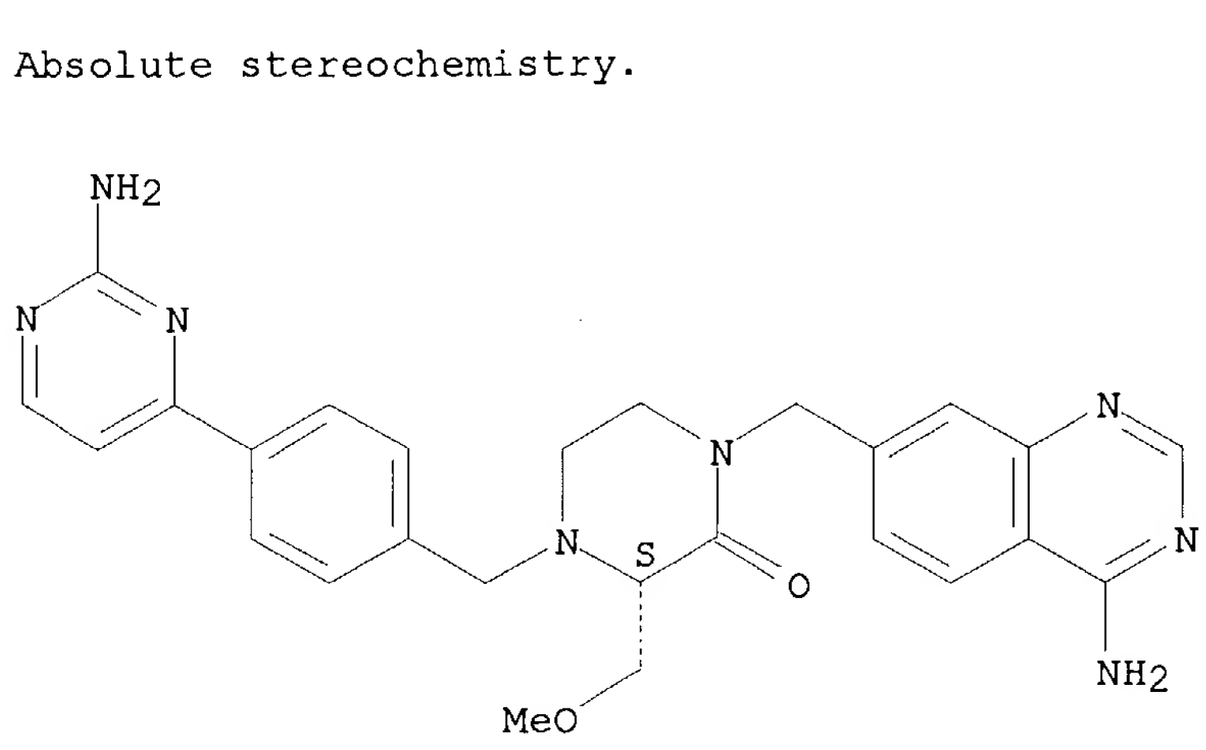
RN 323582-57-6 CAPLUS
CN Piperazinone, 1-[(4-amino-7-quinazolinyl)methyl]-3-(methoxymethyl)-4-[[4-(4-pyrimidinyl)phenyl]methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 323582-60-1 CAPLUS
CN Piperazinone, 4-[[4-(2-amino-4-pyrimidinyl)phenyl]methyl]-1-[(4-amino-7-quinazolinyl)methyl]-3-(methoxymethyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:133658 CAPLUS

DOCUMENT NUMBER: 132:194391
TITLE: Preparation of sulfonyl moiety-containing heterocyclic compounds as factor Xa inhibitors
INVENTOR(S): Kobayashi, Syozo; Komoriya, Satoshi; Haginoya, Noriyasu; Suzuki, Masanori; Yoshino, Toshiharu; Nagahara, Takayasu; Nagata, Tsutomu; Horino, Haruhiko; Ito, Masayuki; Mochizuki, Akiyoshi
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 883 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009480	A1	20000224	WO 1999-JP4344	19990811
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2000119253	A2	20000425	JP 1999-226878	19990810
CA 2340100	AA	20000224	CA 1999-2340100	19990811
AU 9951963	A1	20000306	AU 1999-51963	19990811
EP 1104754	A1	20010606	EP 1999-937024	19990811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000143623	A2	20000526	JP 1999-242814	19990830
US 6747023	B1	20040608	US 2001-762888	20010212
US 2004082611	A1	20040429	US 2003-681205	20031009

PRIORITY APPLN. INFO.:

JP 1998-227449	A	19980811
JP 1998-244175	A	19980828
JP 1998-251674	A	19980904
WO 1999-JP4344	W	19990811
US 2001-762888	A3	20010212

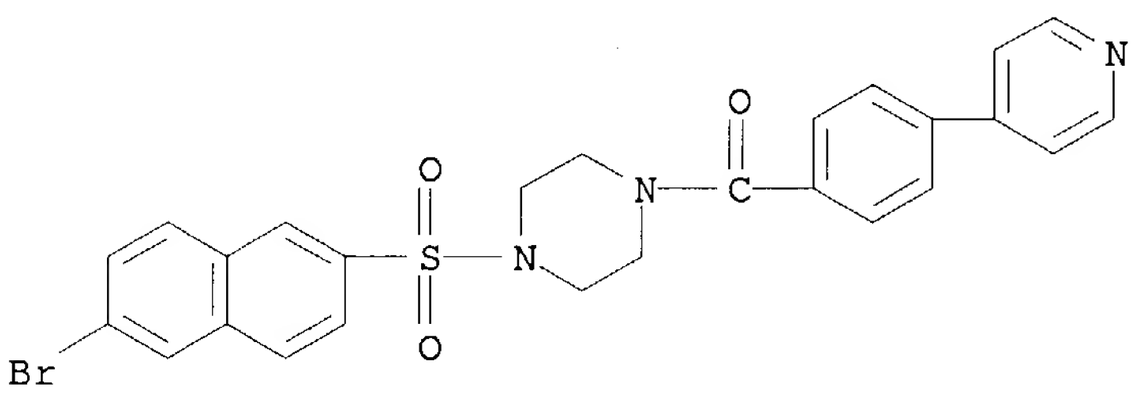
OTHER SOURCE(S): MARPAT 132:194391

AB The title compds. Q1Q2T1Q3SO2QA [wherein Q1 is an optionally substituted, saturated or unsatd., five- or six-membered cyclic hydrocarbon group, a five- or six-membered heterocyclic group, or the like; Q2 is a single bond, oxygen, sulfur, C1-C6 alkylene or the like; Q3 is a heterocyclic ring (represented by several generic structures); QA is optionally substituted arylalkenyl, heteroarylalkenyl or the like; and T1 is carbonyl or the like] are prepared These compds. have potent factor Xa inhibiting effects and promptly exert satisfactory and persistent antithrombotic effects through oral administration, thus being useful as anticoagulant agents little accompanied with side effects. Several compds. of this invention in vitro showed IC50 values of 0.7 nM to 4.7 nM against factor Xa.

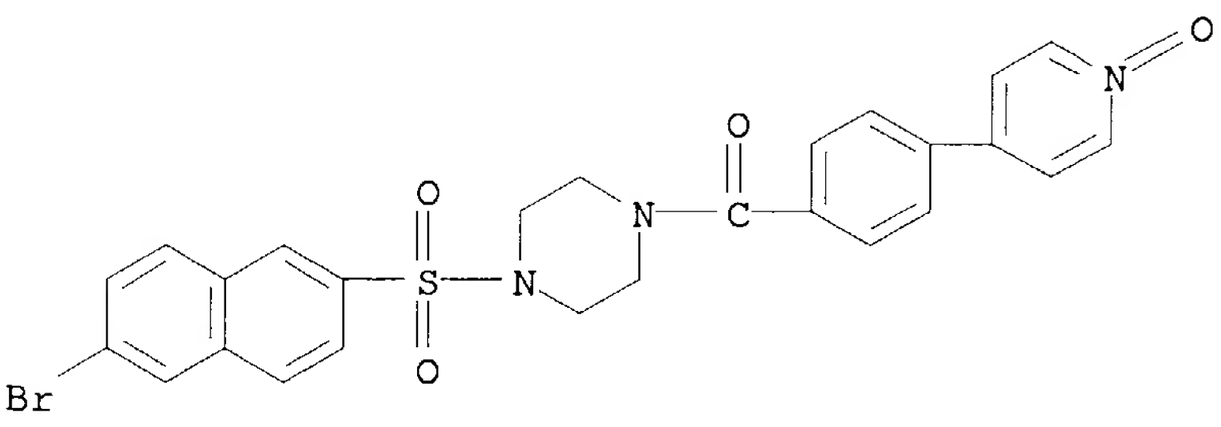
IT **207798-67-2P 207799-04-0P 216957-20-9P**
216958-13-3P 216959-47-6P 222984-78-3P
222984-79-4P 222984-80-7P 222984-82-9P
222984-88-5P 222984-95-4P 222984-99-8P
222985-01-5P 222985-03-7P 222985-15-1P
222985-16-2P 222985-17-3P 222985-18-4P
222985-19-5P 222985-20-8P 222985-21-9P

222985-22-0P 222985-23-1P 222985-43-5P
222986-20-1P 222986-21-2P 222986-23-4P
222986-25-6P 222986-27-8P 222986-28-9P
222986-29-0P 259802-68-1P 259802-69-2P
259802-70-5P 259802-71-6P 259802-80-7P
259802-81-8P 259802-82-9P 259802-83-0P
259802-84-1P 259803-29-7P 259803-30-0P
259803-42-4P 259803-44-6P 259803-45-7P
259803-46-8P 259803-47-9P 259803-49-1P
259803-50-4P 259803-51-5P 259803-52-6P
259803-53-7P 259803-54-8P 259803-55-9P
259803-56-0P 259803-57-1P 259803-58-2P
259803-59-3P 259803-60-6P 259803-61-7P
259803-62-8P 259803-65-1P 259803-66-2P
259803-69-5P 259803-70-8P 259803-71-9P
259803-72-0P 259803-73-1P 259803-74-2P
259803-75-3P 259803-76-4P 259803-77-5P
259804-52-9P 259804-53-0P 259804-54-1P
259804-55-2P 259805-02-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonyl moiety-containing heterocyclic compds. as factor Xa inhibitors)

RN 207798-67-2 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 207799-04-0 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(1-oxido-4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



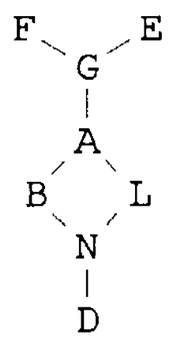
RN 216957-20-9 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(1-oxido-4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

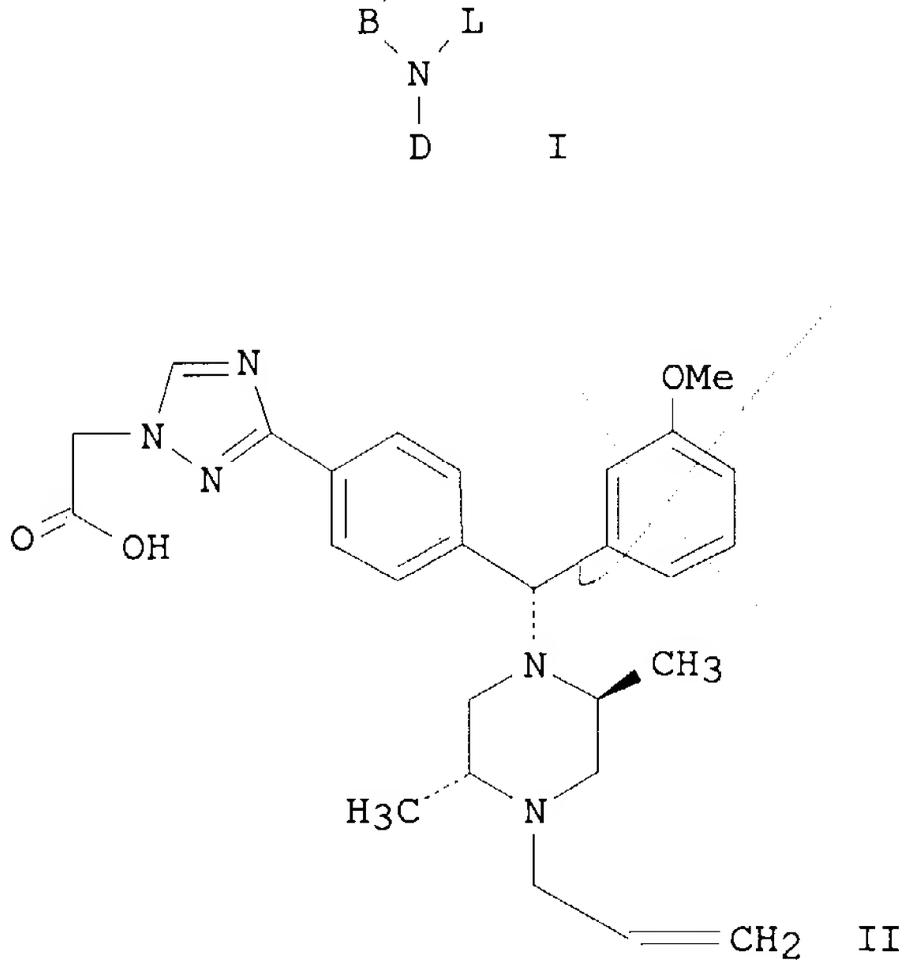
L3 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:43346 CAPLUS
DOCUMENT NUMBER: 132:93337
TITLE: Preparation of benzylpiperazine derivatives as delta opioid receptor agonists
INVENTOR(S): Maw, Graham Nigel; Middleton, Donald Stuart
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 289 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000016984	A2	20000118	JP 1999-58364	19990305
JP 3416069	B2	20030616		
US 6200978	B1	20010313	US 1999-261540	19990303
CA 2263957	C	20031007	CA 1999-2263957	19990303
CA 2263957	AA	19990905		
BR 9917527	A	20020723	BR 1999-17527	19990305
PRIORITY APPLN. INFO.:			GB 1998-4734 A	19980305

OTHER SOURCE(S): MARPAT 132:93337
GI



I



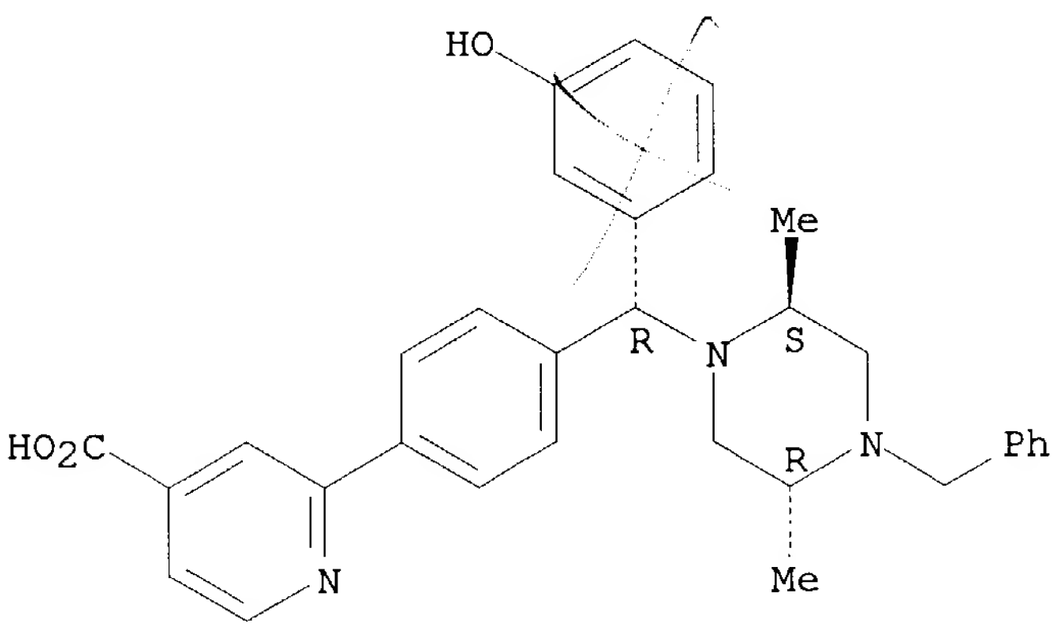
II

AB Title compds [I; A = N, CX; X = H, c1-4 alkyl; G = CY; Y = H, c1-4alkyl; B = c1-4 hydrocarbonyl; A, B, L, N constitute 5-7 atoms ring; D = H, c1-10 hydrocarbonyl; D linked to B or L forming 5-7 membered-ring; E = OH substituted Ph, c1-4 alkoxy, NH2SO2c1-4alkylene; F = aryl, heterocyclyl (exclude tetrazolyl)], pharmaceutically acceptable salt, solvate, and stereoisomers are prepared and tested as delta opioid receptor agonists and claimed useful in the manufacture of pharmaceutical composition,including method comprising administering to a subject an effective amount of a title compound, for preventing or in treatment of inflammation diseases such as arthritis, psoriasis, asthma, inflammatory bowel disease, disorders of respiratory function, gastro-intestinal disorders, such as functional bowel disease, functional GI disorders (irritable bowel syndrome), functional diarrhea, functional distension, functional pain, non-ulcerogenic dyspepsia, or others associated with disorders of motility or secretion, urogenital tract disorders such as incontinence, as analgesics for treating pain including non-somatic pain, or as immunosuppressants to prevent rejection in organ transplant and skin graft. The title compound II was prepared

IT **254113-75-2P 254114-13-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzylpiperazine derivs. as delta opioid receptor agonists)

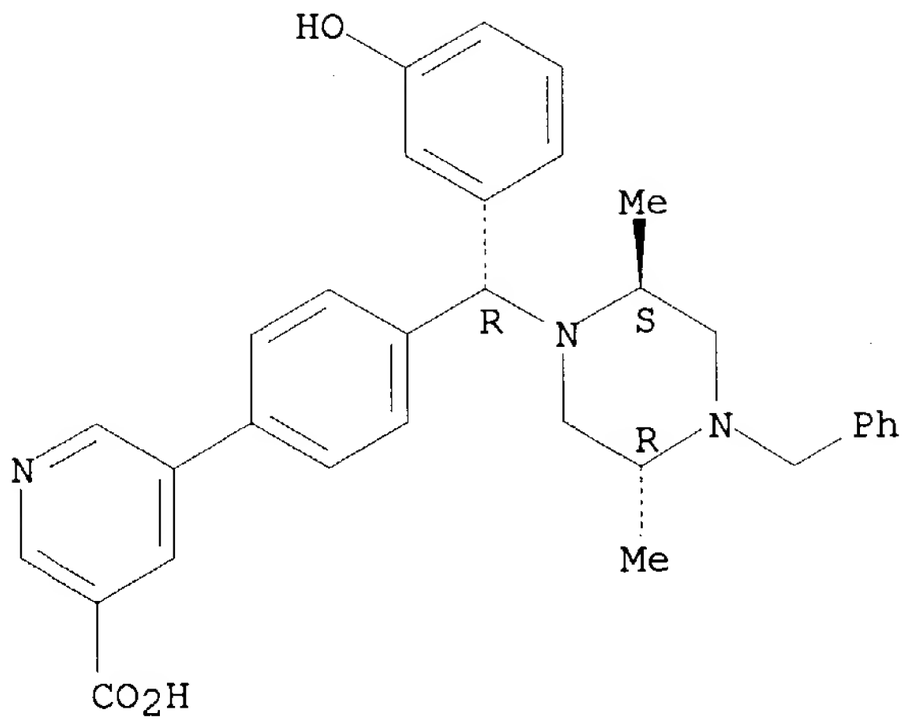
RN 254113-75-2 CAPLUS
CN 4-Pyridinecarboxylic acid, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 254114-13-1 CAPLUS
CN 3-Pyridinecarboxylic acid, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

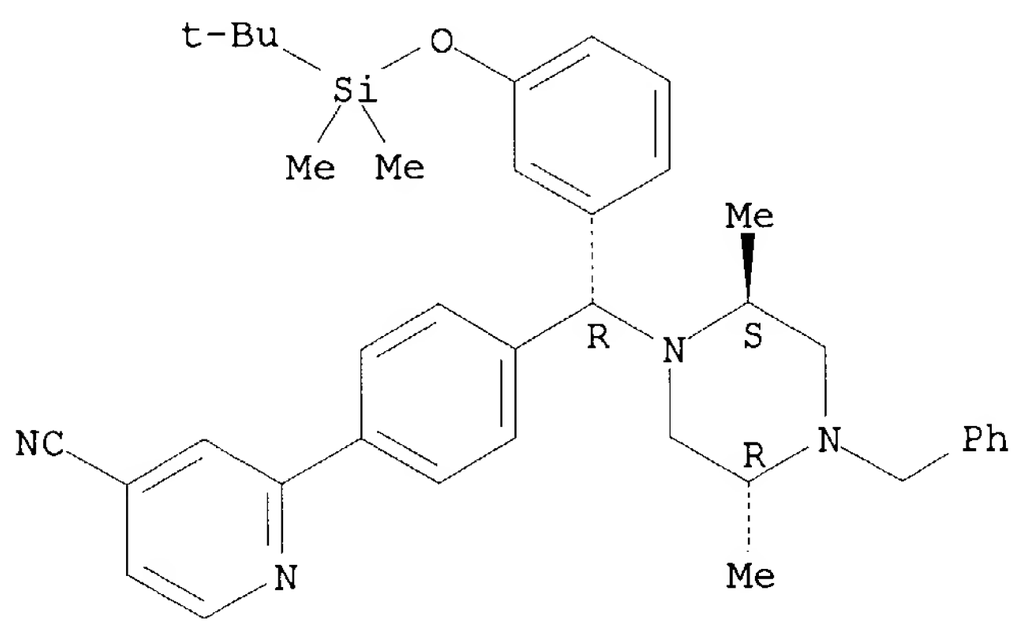


IT **253801-12-6P 253801-13-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzylpiperazine derivs. as delta opioid receptor agonists)

RN 253801-12-6 CAPLUS

CN 4-Pyridinecarbonitrile, 2-[4-[(R)-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl][(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

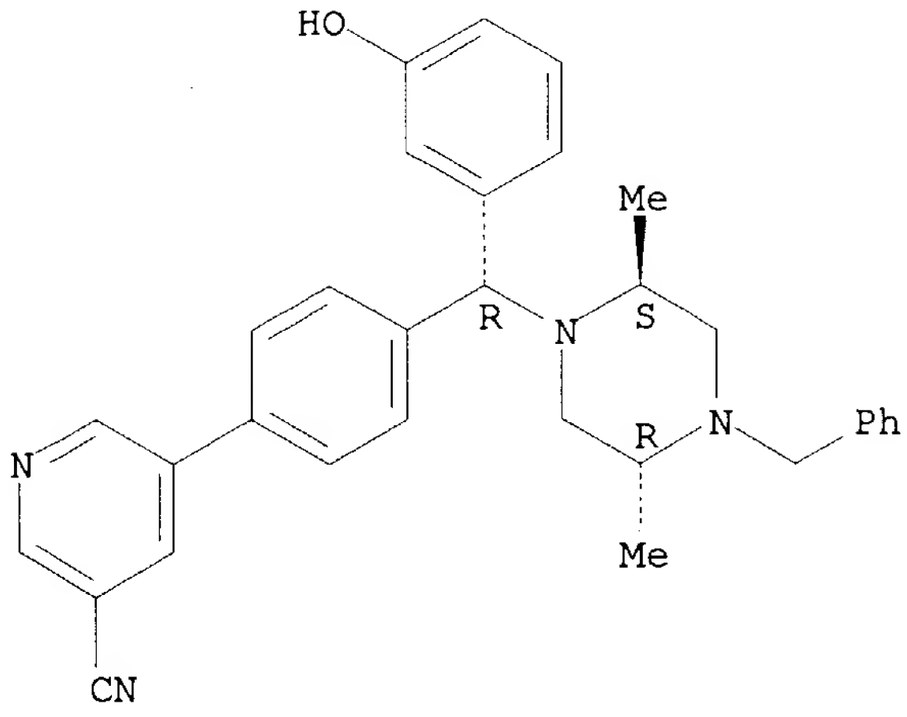
Absolute stereochemistry.



RN 253801-13-7 CAPLUS

CN 3-Pyridinecarbonitrile, 5-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

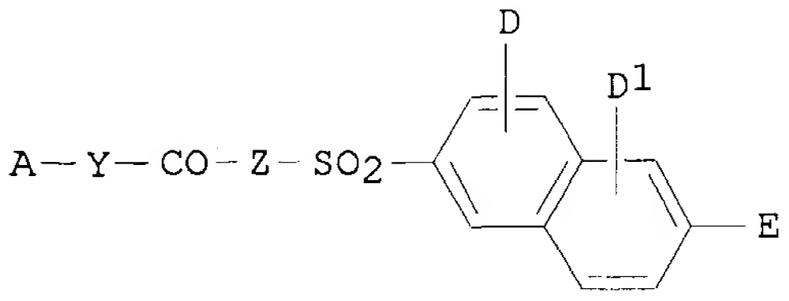
Absolute stereochemistry.



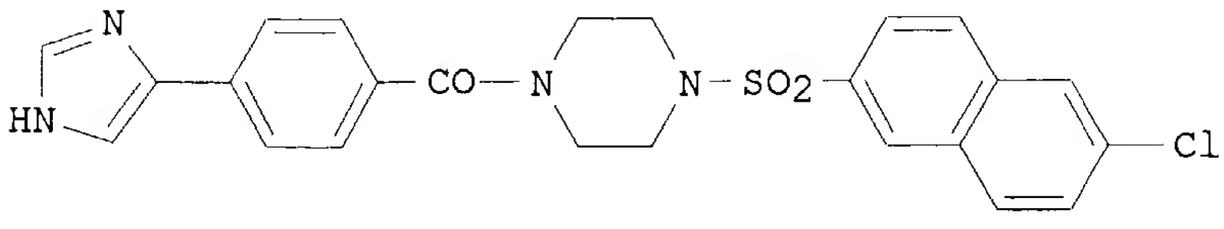
L3 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:723017 CAPLUS
DOCUMENT NUMBER: 131:337034
TITLE: Preparation of 1-naphthylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors
INVENTOR(S): Nowak, Thorsten; Preston, John; Rayner, John Wall; Smithers, Michael James; Stocker, Andrew
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9957099	A1	19991111	WO 1999-GB1312	19990427
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9936207	A1	19991123	AU 1999-36207	19990427
EP 1082303	A1	20010314	EP 1999-918179	19990427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6395731	B1	20020528	US 2000-674563	20001220
PRIORITY APPLN. INFO.:			GB 1998-9349 A	19980502
			WO 1999-GB1312 W	19990427

OTHER SOURCE(S): MARPAT 131:337034
GI



I



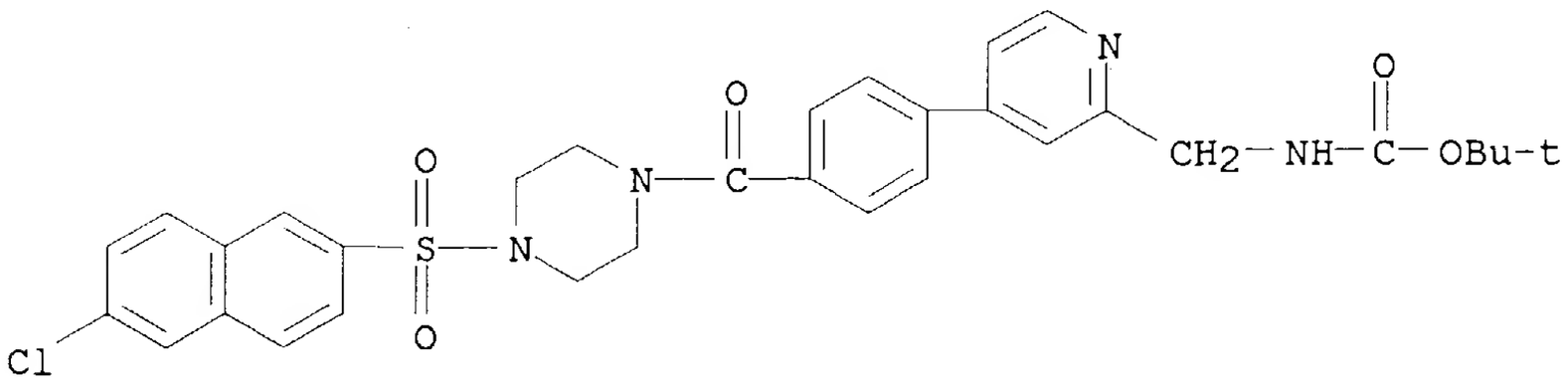
II

AB Title compds. (I) [where A = 5- or 6-membered monocyclic heteroaryl (un)substituted by 1-3 halo, oxo, CO2H, CF3, CN, NH2, OH, NO2, (amino)alkyl, alkoxy(carbonyl), and/or (di)alkylamino; Y = (un)substituted phenylene; Z = (un)substituted piperidine-4,1-diyl or piperazine-1,4-diyl; D and D1 = independently H, alkyl, alkenyl, alkynyl, oxo, or OH; E = F, Cl, or Br] were prepared as antithrombotics and anticoagulants. Thus, 4-(4-imidazolyl)benzoic acid HCl (2-step preparation given) was amidated with 1-(6-chloronaphth-2-ylsulfonyl)piperazine to yield the title imidazolylbenzoylpiperazine (II). The IC50 values of invention compds. ranged from 0.001 to 0.1 μM for Factor Xa inhibition and were > 40 μM for thrombin inhibition (no individual data given). Data for anticoagulant activity of I in conventional prothrombin time tests were given.

IT **249887-51-2P 249887-61-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compound; preparation of 1-naphthylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors for treatment of thrombosis mediated diseases and coagulation disorders)

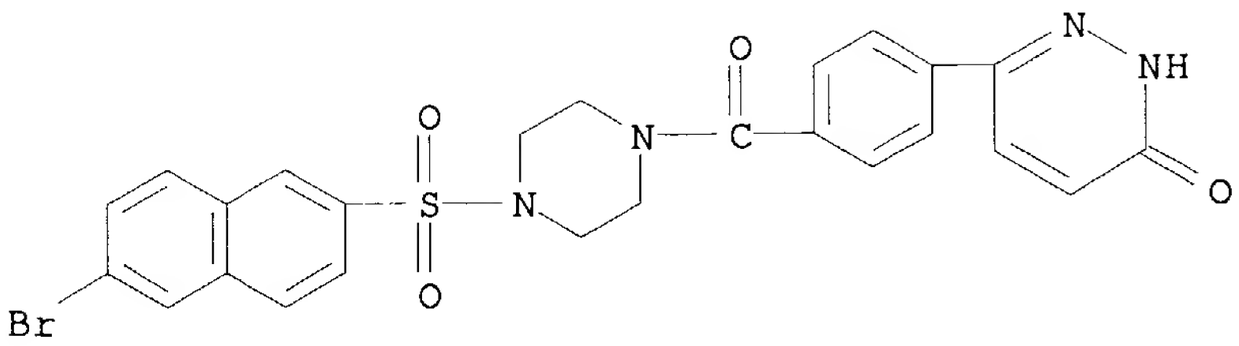
RN 249887-51-2 CAPLUS

CN Carbamic acid, [[4-[4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]phenyl]-2-pyridinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



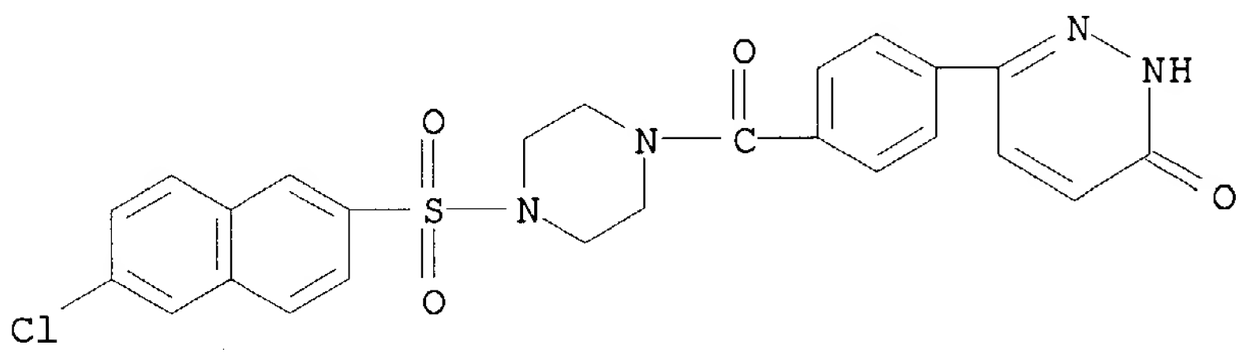
RN 249887-61-4 CAPLUS

CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)

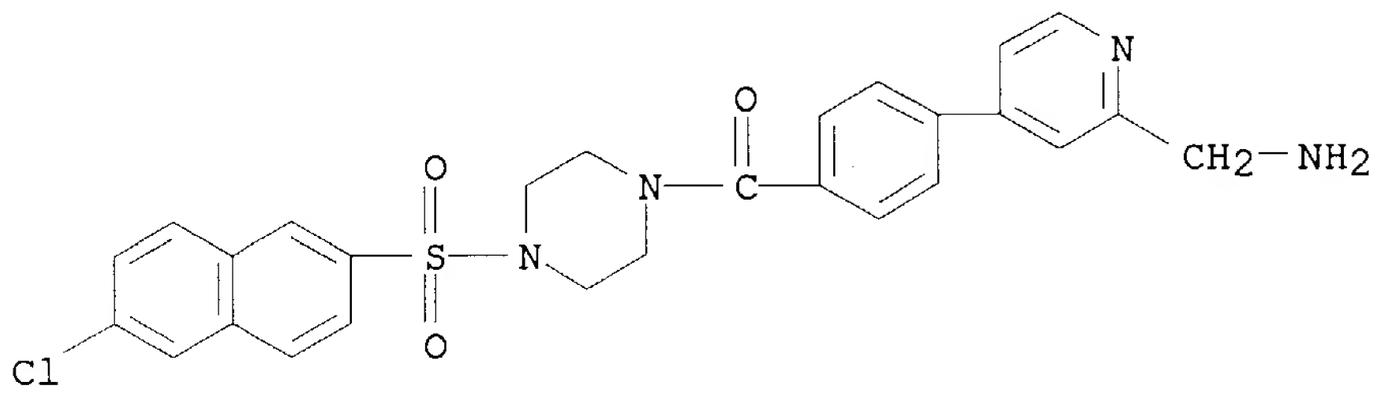


IT **249887-46-5P 249887-48-7P 249887-49-8P**
249887-60-3P 249887-62-5P 249887-63-6P
249887-64-7P 249887-65-8P 249887-66-9P
249887-67-0P 249887-68-1P 249887-69-2P
249887-70-5P 249887-71-6P 249887-72-7P
249887-73-8P 249887-74-9P 249887-75-0P
249887-76-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of 1-naphthylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors for treatment of thrombosis mediated diseases and coagulation disorders)

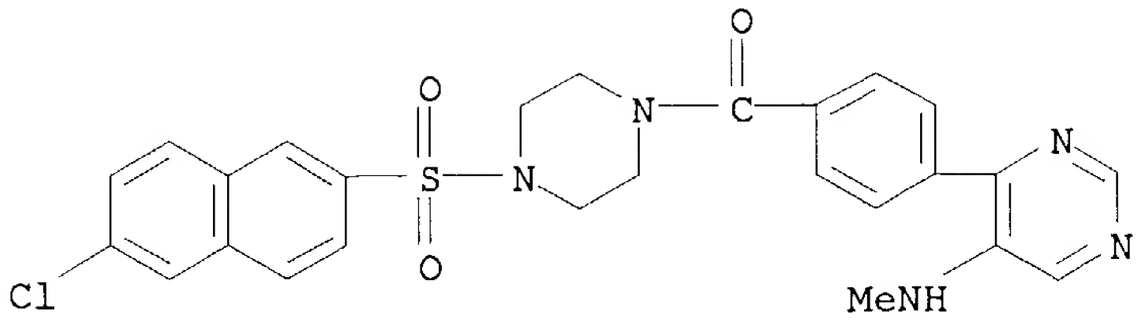
RN 249887-46-5 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



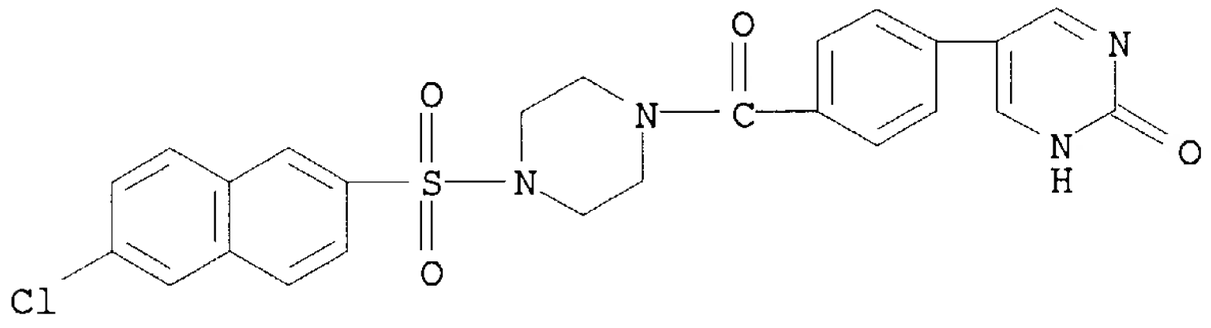
RN 249887-48-7 CAPLUS
CN Piperazine, 1-[4-[2-(aminomethyl)-4-pyridinyl]benzoyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 249887-49-8 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(6-hydrazino-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 249887-76-1 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(1,2-dihydro-2-oxo-5-pyrimidinyl)benzoyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:511143 CAPLUS
DOCUMENT NUMBER: 131:170361
TITLE: Preparation of sulfonamides as inhibitors of activated blood coagulation factor X
INVENTOR(S): Tawada, Hiroyuki; Itoh, Fumio; Banno, Hiroshi; Terashita, Zenichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

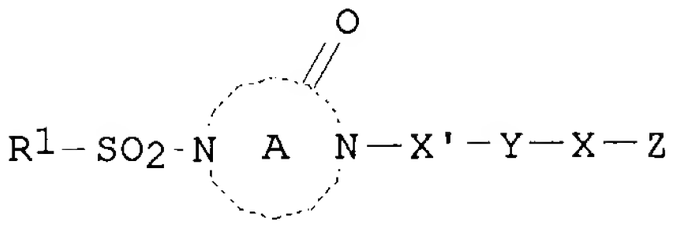
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940075	A1	19990812	WO 1999-JP470	19990204
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2317017	AA	19990812	CA 1999-2317017	19990204
AU 9922988	A1	19990823	AU 1999-22988	19990204
JP 2000204081	A2	20000725	JP 1999-27053	19990204
EP 1054005	A1	20001122	EP 1999-902829	19990204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6403595	B1	20020611	US 2000-601660	20000803
US 2002193382	A1	20021219	US 2002-128809	20020424
US 6680312	B2	20040120		

PRIORITY APPLN. INFO.:

JP 1998-24833	A	19980205
JP 1998-317205	A	19981109
WO 1999-JP470	W	19990204
US 2000-601660	A3	20000803

OTHER SOURCE(S): MARPAT 131:170361

GI



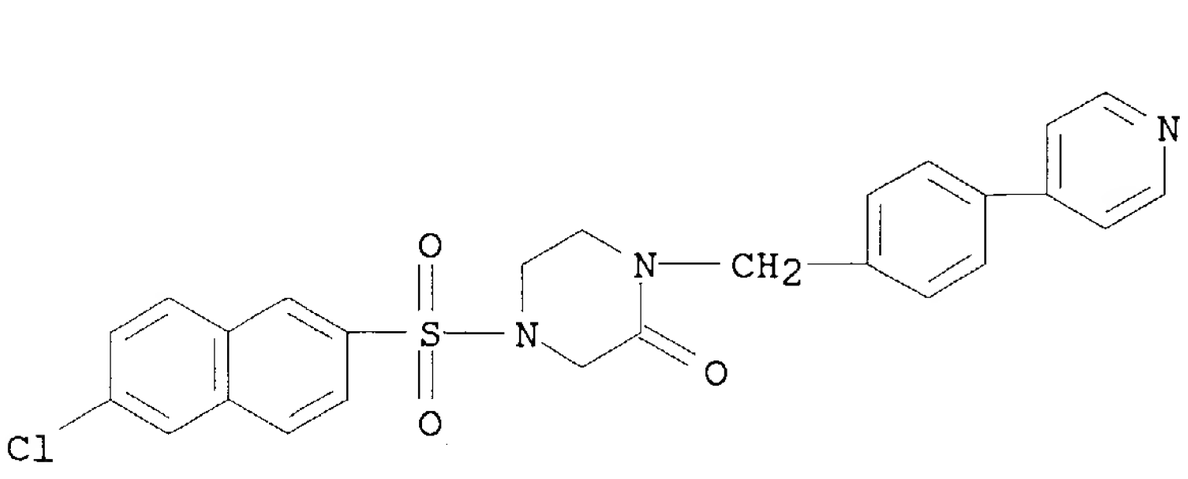
I

AB The title compds. I [R1 represents a hydrocarbyl or heterocyclic group each optionally substituted; the ring A represents a divalent nitrogen-containing heterocycle group optionally further substituted; X' represents optionally substituted alkylene; Y represents an optionally substituted divalent cyclic group; X represents a bond or optionally substituted alkylene; and Z represents optionally substituted amino, optionally substituted imidoyl, or an optionally substituted nitrogen-containing heterocyclic group] are prepared Formulations containing a compound of this invention are given. In a test for inhibiting activity of title compds. against activated blood coagulation factor X, 1-(4-amidinobenzyl)-4-(6-chloronaphthalene-2-sulfonyl)-2-piperazinone hydrochloride showed IC50 of 0.05 μM.

IT **239072-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamides as inhibitors of activated blood coagulation factor X)

RN 239072-06-1 CAPLUS

CN Piperazinone, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[[4-(4-pyridinyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:233901 CAPLUS

DOCUMENT NUMBER: 130:296694

TITLE: Preparation of heterocyclic compounds having the sulfonyl group as antithrombotics

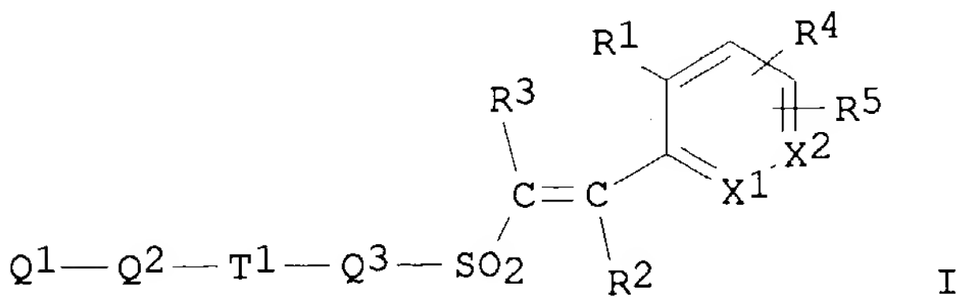
INVENTOR(S): Kobayashi, Shozo; Komoriya, Satoshi; Ito, Masayuki; Nagata, Tsutomu; Mochizuki, Akiyoshi; Haginoya, Noriyasu; Nagahara, Takayasu; Horino, Haruhiko
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 342 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916747	A1	19990408	WO 1998-JP4411	19980930
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2304285	AA	19990408	CA 1998-2304285	19980930
AU 9892806	A1	19990423	AU 1998-92806	19980930
EP 1031563	A1	20000830	EP 1998-945542	19980930
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9815377	A	20010116	BR 1998-15377	19980930
US 6525042	B1	20030225	US 2000-508680	20000328
NO 2000001636	A	20000329	NO 2000-1636	20000329
US 2003232808	A1	20031218	US 2002-323978	20021220

PRIORITY APPLN. INFO.: JP 1997-267117 A 19970930
WO 1998-JP4411 W 19980930
US 2000-508680 A3 20000328

OTHER SOURCE(S): MARPAT 130:296694

GI



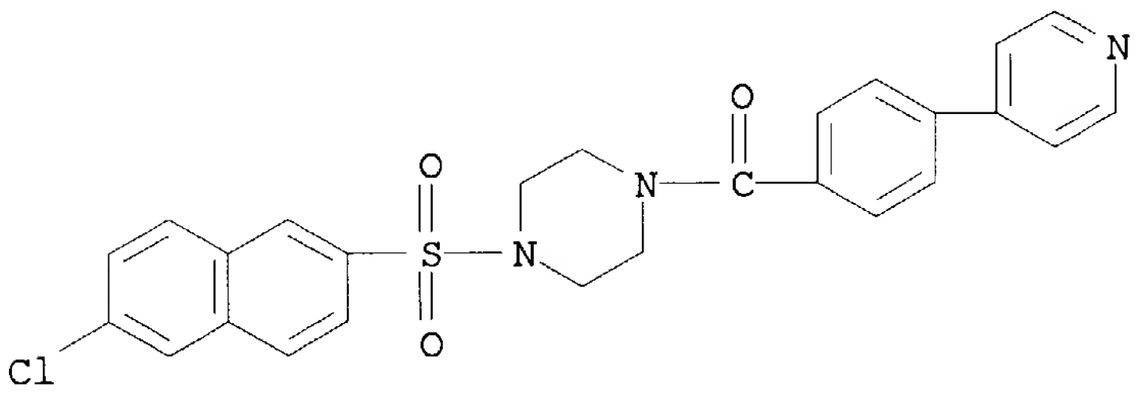
I

AB The title compds. I [R1 is hydrogen, hydroxyl, nitro or the like; R2 and R3 are each independently hydrogen, halogeno or the like; R4 and R5 are each independently hydrogen, halogeno or the like; Q1 is an optionally substituted saturated or unsatd. 5- or 6-membered cyclic hydrocarbon group or the like; Q2 is a single bond, oxygen or the like; Q3 is a heterocyclic moiety (represented by 4 generic structures); T1 is carbonyl or the like; and X1 and X2 are each independently methine or nitrogen] are prepared I speedily exert satisfactory and persistent antithrombotic effects through oral administration and cause few adverse effects. In an in vitro test for inhibition of activated blood coagulation factor X, 1-[(6-chloronaphthalen-2-yl)sulfonyl]-4-[(6-methyl-4,5,6,7-

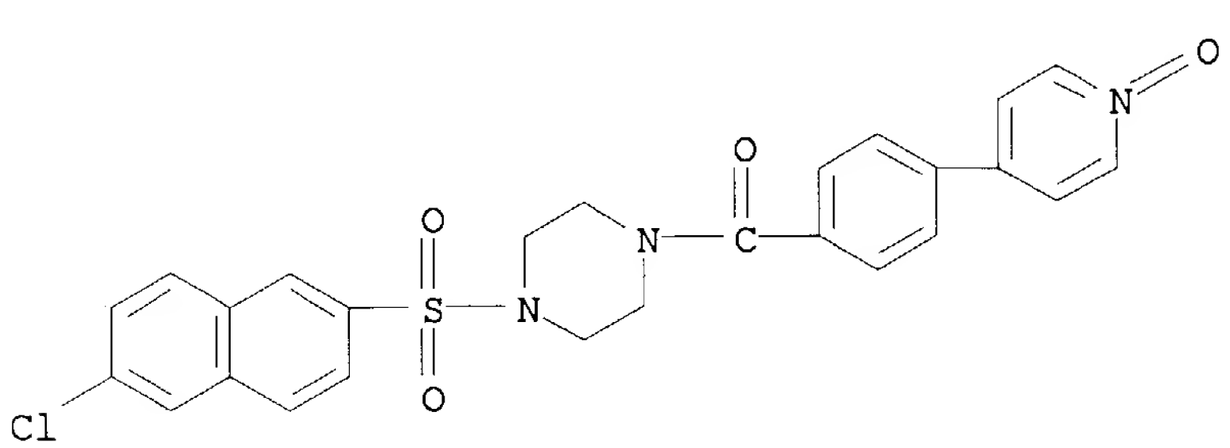
tetrahydrothiazolo[5,4-c]pyridin-2-yl)carbonyl]piperazine hydrochloride showed the Ki value of 6.6 nM.

IT **207798-71-8P 216957-20-9P 216958-13-3P**
216959-45-4P 216959-47-6P 222984-78-3P
222984-79-4P 222984-80-7P 222984-82-9P
222984-88-5P 222984-89-6P 222984-95-4P
222984-99-8P 222985-01-5P 222985-03-7P
222985-15-1P 222985-16-2P 222985-17-3P
222985-18-4P 222985-19-5P 222985-20-8P
222985-21-9P 222985-22-0P 222985-23-1P
222985-43-5P 222986-20-1P 222986-21-2P
222986-22-3P 222986-23-4P 222986-24-5P
222986-25-6P 222986-27-8P 222986-28-9P
222986-29-0P 222986-30-3P 222986-31-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. having the sulfonyl group as antithrombotics)

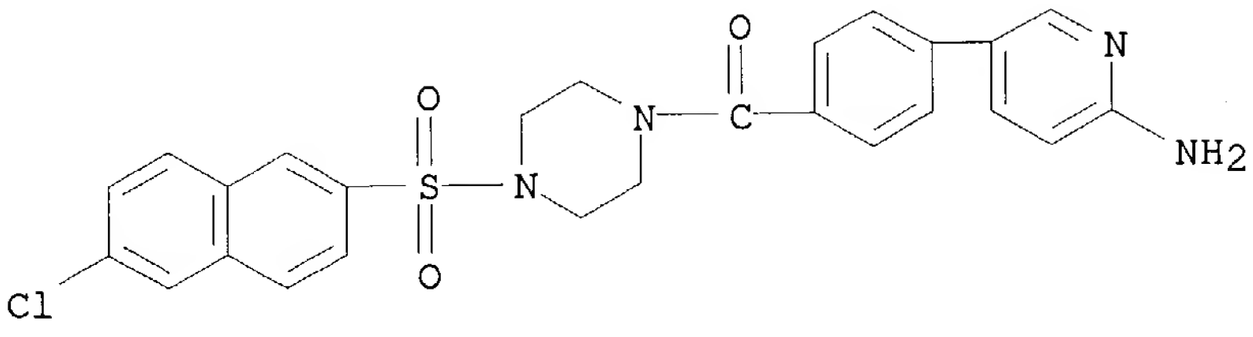
RN 207798-71-8 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 216957-20-9 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(1-oxido-4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

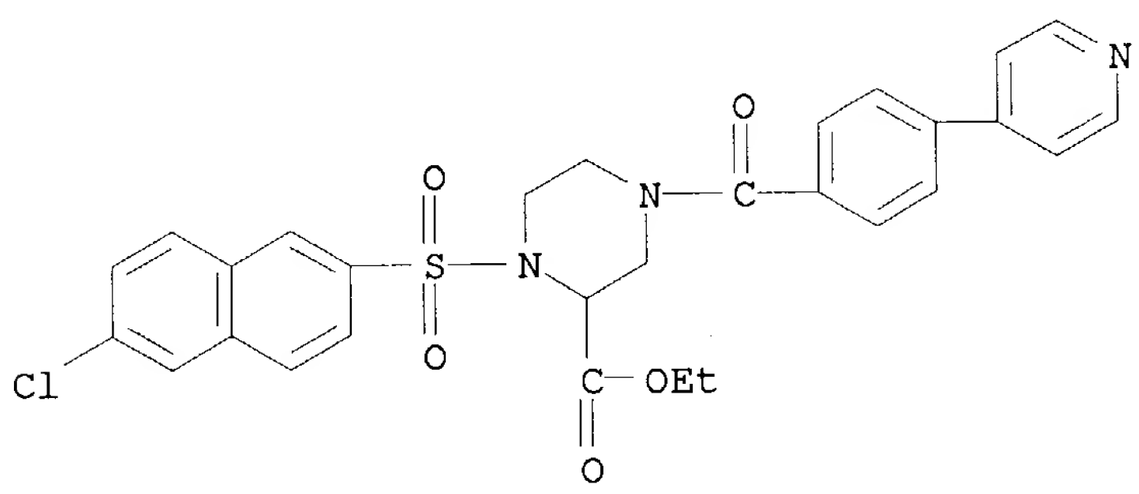


RN 216958-13-3 CAPLUS
CN Piperazine, 1-[4-(6-amino-3-pyridinyl)benzoyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



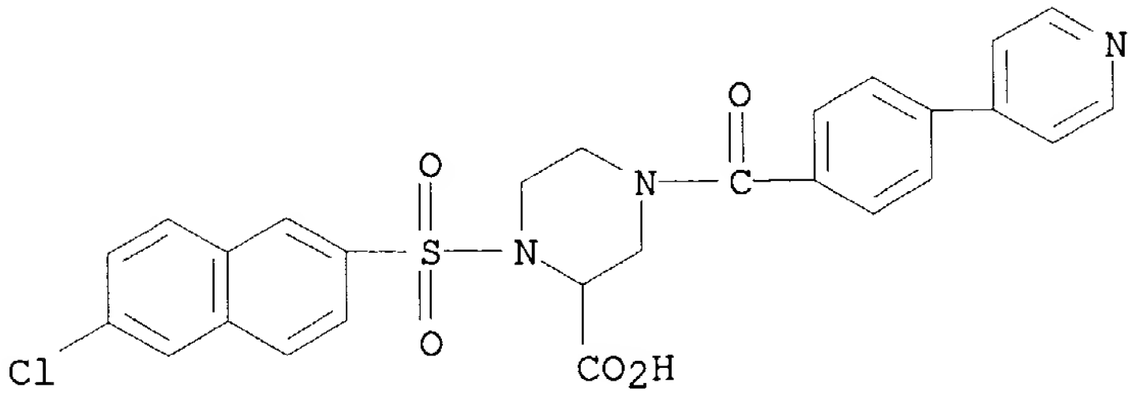
● HCl

RN 216959-45-4 CAPLUS
CN 2-Piperazinecarboxylic acid, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

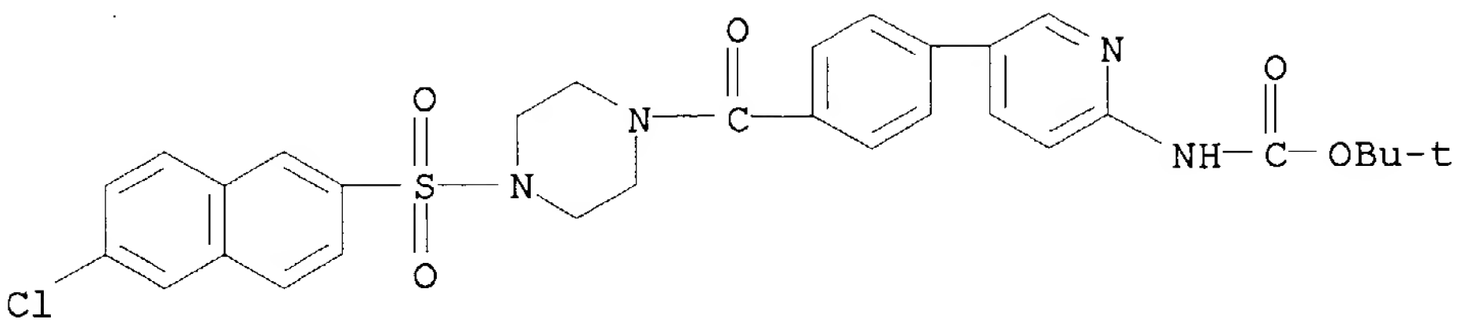


● HCl

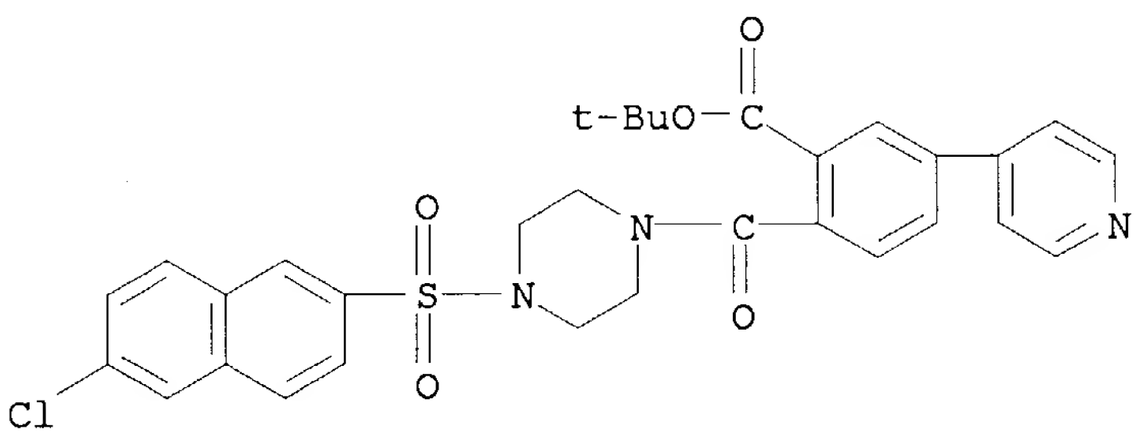
RN 216959-47-6 CAPLUS
CN 2-Piperazinecarboxylic acid, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 222984-78-3 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 222986-70-1 CAPLUS
CN Benzoic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-5-(4-pyridinyl)-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:794998 CAPLUS
DOCUMENT NUMBER: 130:38404
TITLE: Preparation of 1-benzoyl-4-naphthalenesulfonylpiperazines and related compounds as inhibitors of activated coagulation factor X.
INVENTOR(S): Tawada, Hiroyuki; Ito, Fumio; Moriya, Norihiko; Terashita, Zenichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 313 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9854164	A1	19981203	WO 1998-JP2346	19980528
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

AU 9874534	A1	19981230	AU 1998-74534		19980528
EP 986551	A1	20000322	EP 1998-921852		19980528
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 11236372	A2	19990831	JP 1998-148677		19980529
US 6359134	B1	20020319	US 1999-424892		19991130
PRIORITY APPLN. INFO.:			JP 1997-142250	A	19970530
			JP 1997-351806	A	19971219
			WO 1998-JP2346	W	19980528

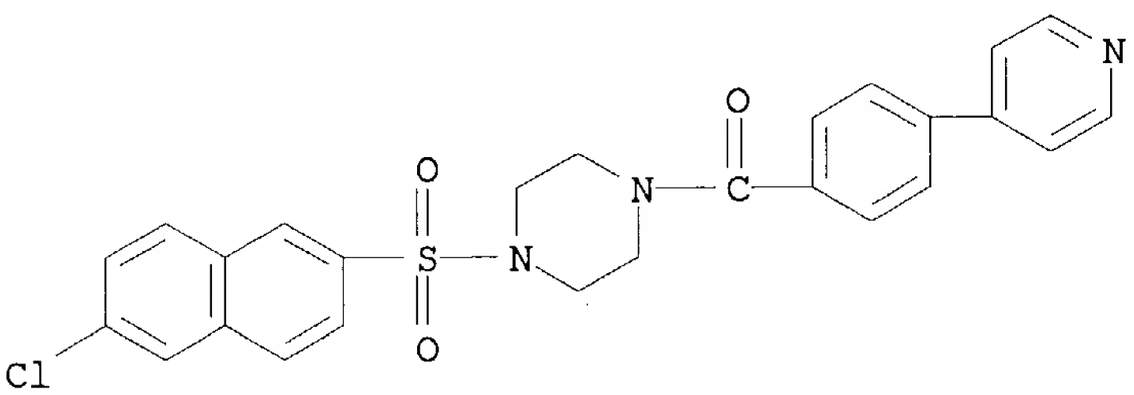
OTHER SOURCE(S): MARPAT 130:38404

AB R1SO2ACOYXZ [R1 = (substituted) hydrocarbyl, heterocyclyl; A = (substituted) divalent N-heterocyclyl; Y = (substituted) hydrocarbylene, heterocyclylene; X = bond, (substituted) alkylene; Z = substituted amino, imidoyl, N-heterocyclyl; provided that when X = bond and Z = (substituted) 6-membered N-heterocyclyl, then Y = (substituted) hydrocarbylene, unsatd. heterocyclylene], were prepared Thus, reaction of 1-(6-chloronaphthalene-2-sulfonyl)piperazine hydrochloride with 2-(4-pyridyl)-4-methyl-5-thiazolecarboxylic acid in the presence of Et3N and WSC hydrochloride in DMF gave 1-(6-chloronaphthalene-2-sulfonyl)-4-[2-(4-pyridyl)-4-methyl-5-thiazolecarbonyl]piperazine. The latter inhibited human activated coagulation factor X with IC50 = 0.019 μM.

IT **207798-71-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 1-benzoyl-4-naphthalenesulfonylpiperazines and related compds. as inhibitors of activated coagulation factor X)

RN 207798-71-8 CAPLUS

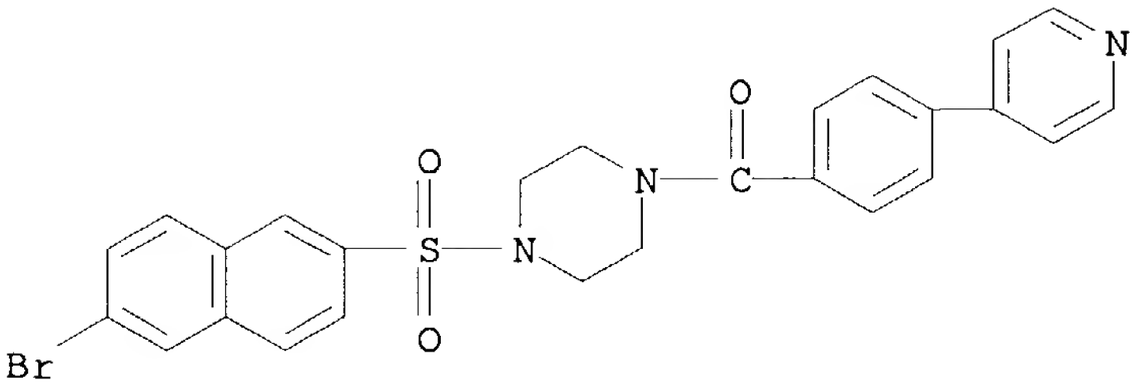
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



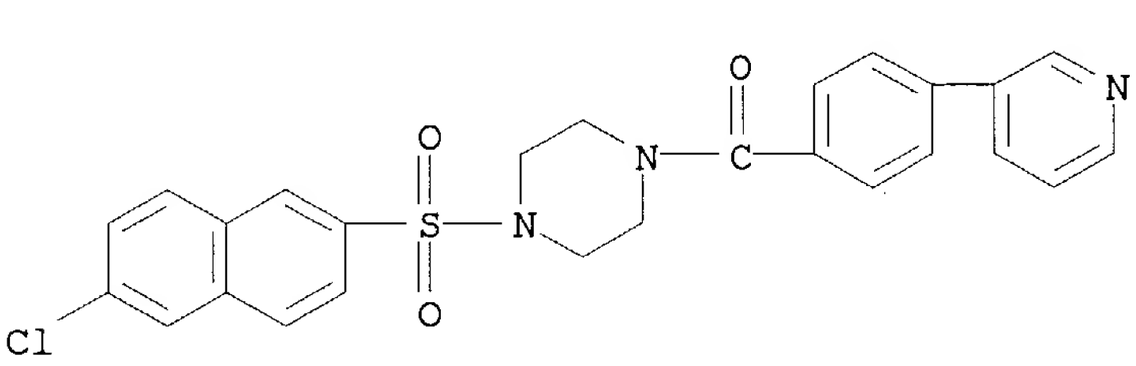
IT **207798-67-2P 207798-69-4P 216956-83-1P**
216957-20-9P 216957-53-8P 216957-54-9P
216957-59-4P 216957-94-7P 216957-95-8P
216958-01-9P 216958-12-2P 216958-13-3P
216958-16-6P 216958-17-7P 216959-45-4P
216959-47-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-benzoyl-4-naphthalenesulfonylpiperazines and related compds. as inhibitors of activated coagulation factor X)

RN 207798-67-2 CAPLUS

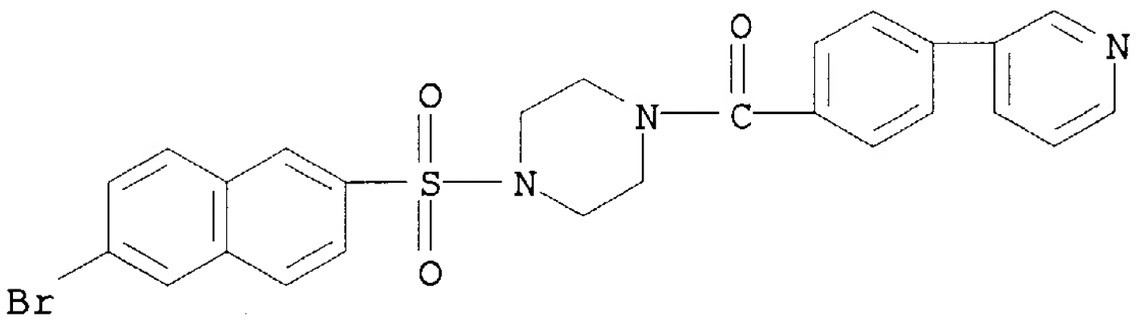
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



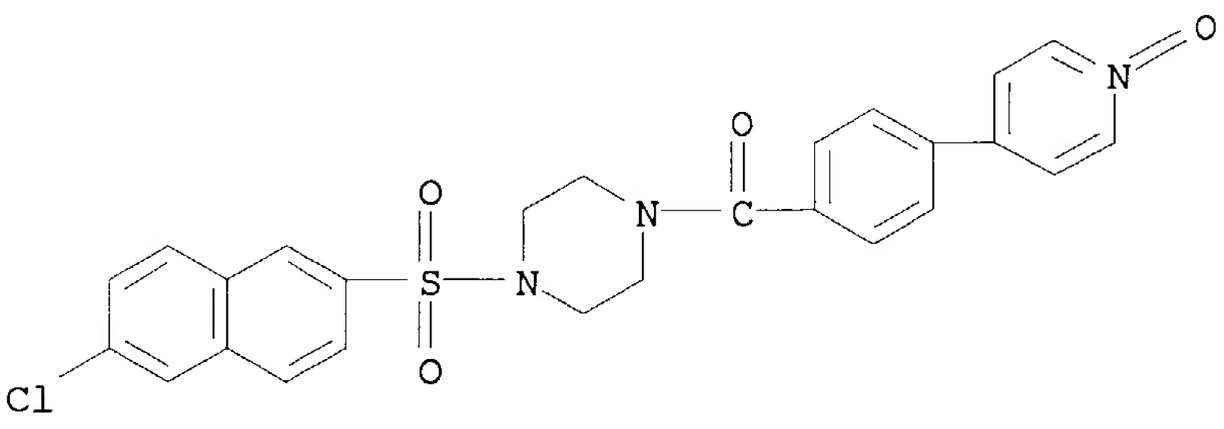
RN 207798-69-4 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(3-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 216956-83-1 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(3-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 216957-20-9 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(1-oxido-4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 216957-53-8 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[2-chloro-4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:341547 CAPLUS
DOCUMENT NUMBER: 129:16141
TITLE: Preparation of 1-(naphthylsulfonyl)-4-benzoylpiperazines and related compounds as inhibitors of Factor Xa.
INVENTOR(S): Preston, John; Stocker, Andrew; Turner, Paul; Smithers, Michael James; Rayner, John Wall
PATENT ASSIGNEE(S): Zeneca Ltd., UK; Preston, John; Stocker, Andrew; Turner, Paul; Smithers, Michael James; Rayner, John Wall
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

applicants

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9821188	A1	19980522	WO 1997-GB3033	19971104
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9748748	A1	19980603	AU 1997-48748	19971104
AU 731929	B2	20010405		
EP 937048	A1	19990825	EP 1997-911333	19971104
EP 937048	B1	20040121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9712672	A	19991026	BR 1997-12672	19971104
CN 1235597	A	19991117	CN 1997-199426	19971104
NZ 334710	A	20001124	NZ 1997-334710	19971104
JP 2001504113	T2	20010327	JP 1998-522274	19971104
RU 2213732	C2	20031010	RU 1999-112135	19971104
EP 1358909	A1	20031105	EP 2003-11815	19971104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 258167	E	20040215	AT 1997-911333	19971104
TW 458968	B	20011011	TW 1997-86116467	19971105
ZA 9710062	A	19980508	ZA 1997-10062	19971107
NO 9902230	A	19990507	NO 1999-2230	19990507
~~KR 2000053~~128	A	20000825	KR 1999-704055	19990507
US 6300330	B1	20011009	US 1999-297768	19990507
US ~~2003~~195203	A1	20031016	US 2001-963686	20010927

PRIORITY APPLN. INFO.:

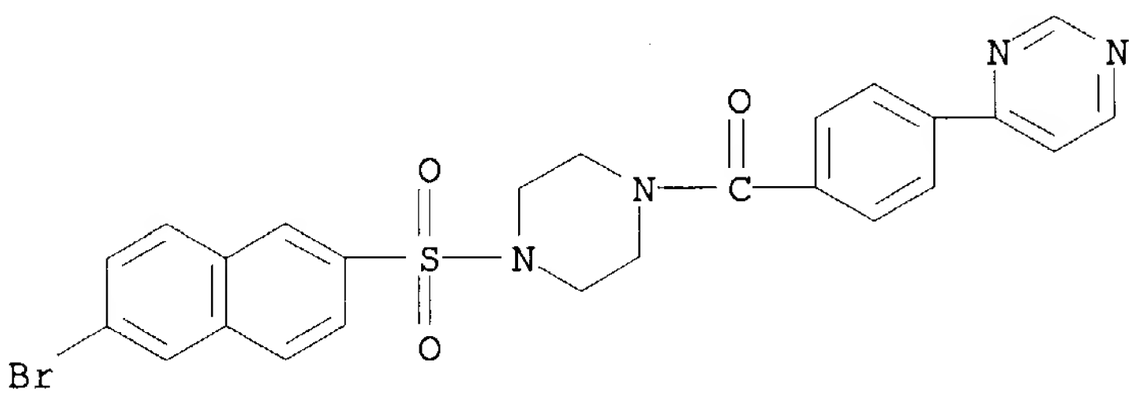
GB 1996-23283	A	19961108
GB 1997-15893	A	19970729
EP 1997-911333	A3	19971104
WO 1997-GB3033	W	19971104
US 1999-297768	A1	19990507

OTHER SOURCE(S): MARPAT 129:16141
AB ABX1T1(R2)L1T2(R3)X2Q [I; A = (substituted) 5-6 membered heteroaryl; B =

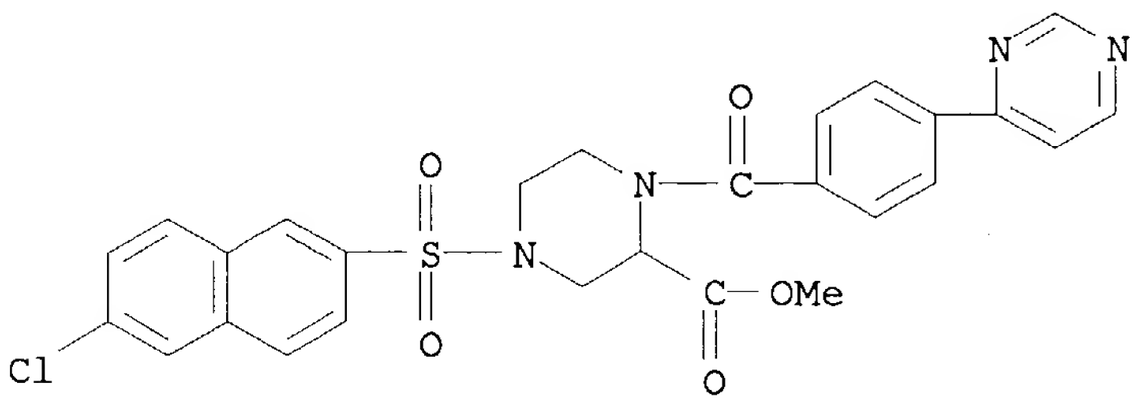
(substituted) phenylene; T1, T2 = CH, N; ≥1 of T1, R2 = N; X1 = SO, SO2, CO, C(R4)2, O, S; R4 = H, alkyl; L1 = alkylene, alkylenecarbonyl; R2, R3 = H, alkyl; R2R3 = alkylene, CH2CO; Q = (substituted) Ph, naphthyl, phenylalkyl, phenylalkenyl, phenylalkynyl, heterocyclyl; with provisos], were prepared Thus, Me 4-(4-pyrimidinyl)benzoate (preparation given) was converted to the acid chloride which was stirred with 1-(6-bromonaphth-2-ylsulfonyl)piperazine hydrochloride and Et3N in CH2Cl2 to give 1-(6-bromonaphth-2-ylsulfonyl)-4-[4-(4-pyrimidinyl)benzoyl]piperazine. I inhibited Factor Xa with IC50 = 0.001-25 μM.

IT **207798-65-0P 207798-66-1P 207798-67-2P**
207798-68-3P 207798-69-4P 207798-70-7P
207798-71-8P 207798-72-9P 207798-73-0P
207798-74-1P 207798-75-2P 207798-98-9P
207798-99-0P 207799-00-6P 207799-01-7P
207799-02-8P 207799-03-9P 207799-04-0P
207799-05-1P 207799-06-2P 207799-07-3P
207799-08-4P 207799-09-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(naphthylsulfonyl)-4-benzoylpiperazines and related compds. as inhibitors of factor Xa)

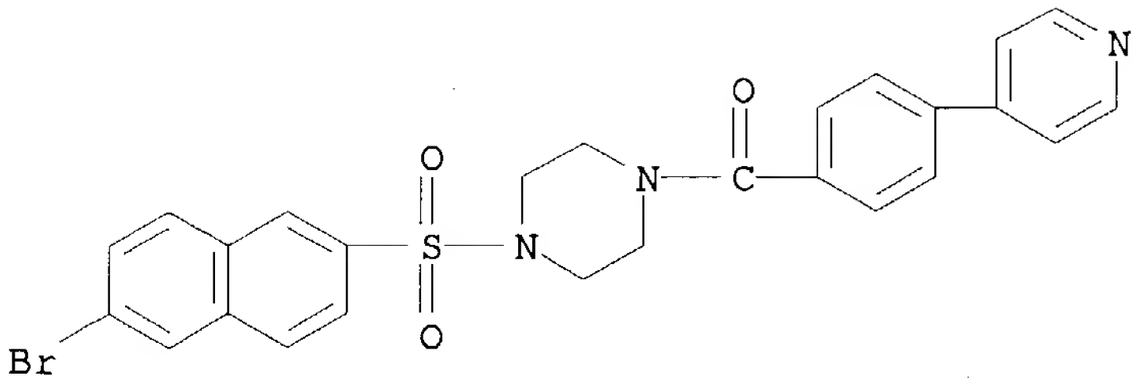
RN 207798-65-0 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(4-pyrimidinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 207798-66-1 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(4-pyrimidinyl)benzoyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 207798-67-2 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 207798-68-3 CAPLUS
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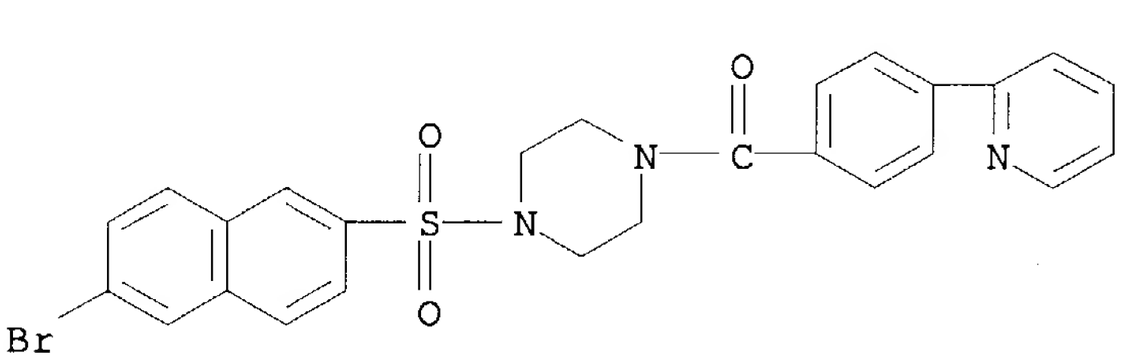
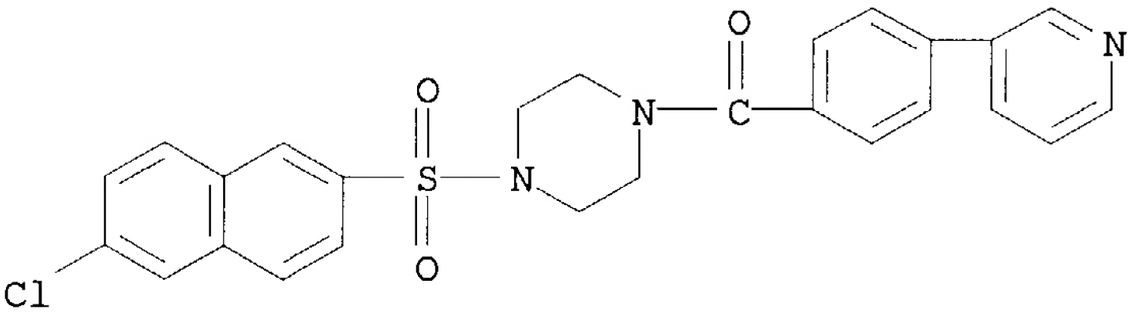
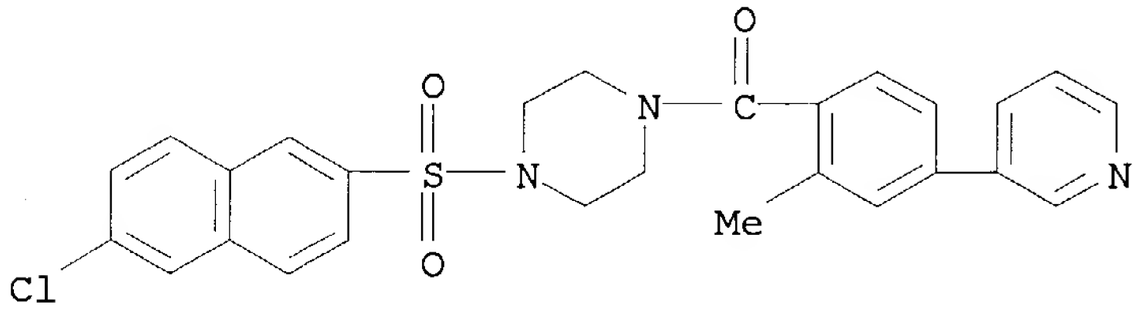


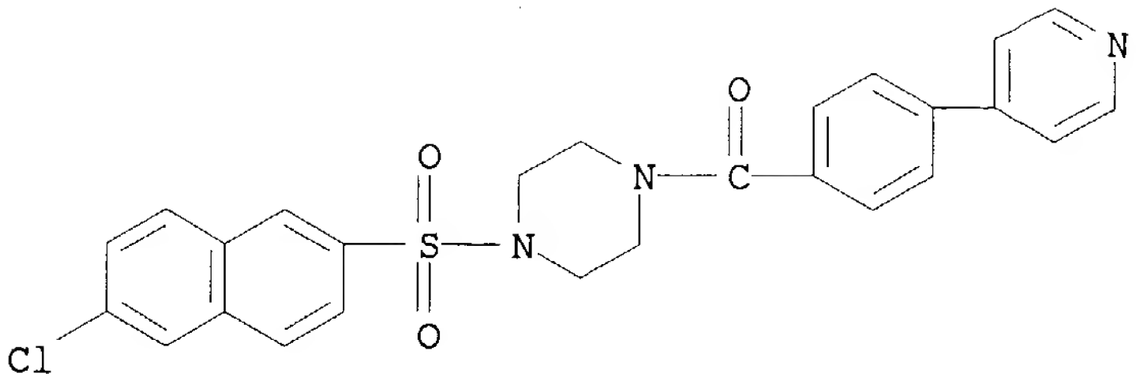
RN 207798-69-4 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(3-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



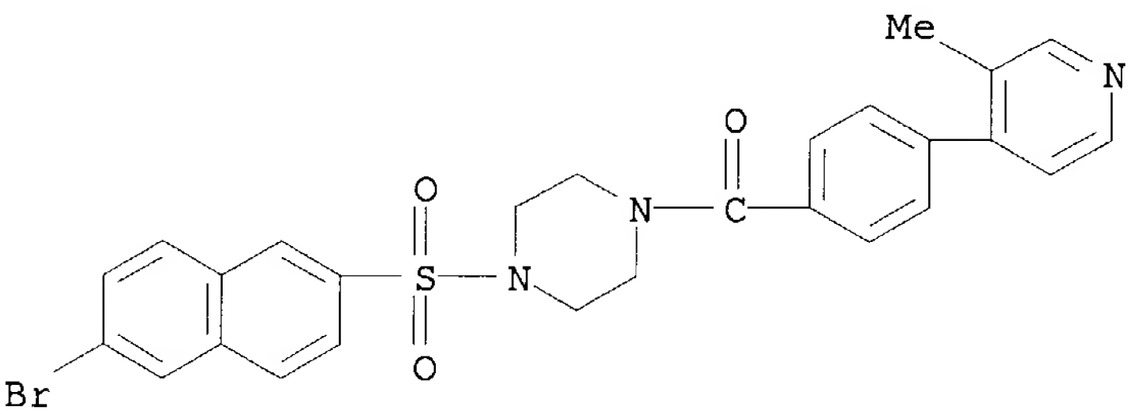
RN 207798-70-7 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[2-methyl-4-(3-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



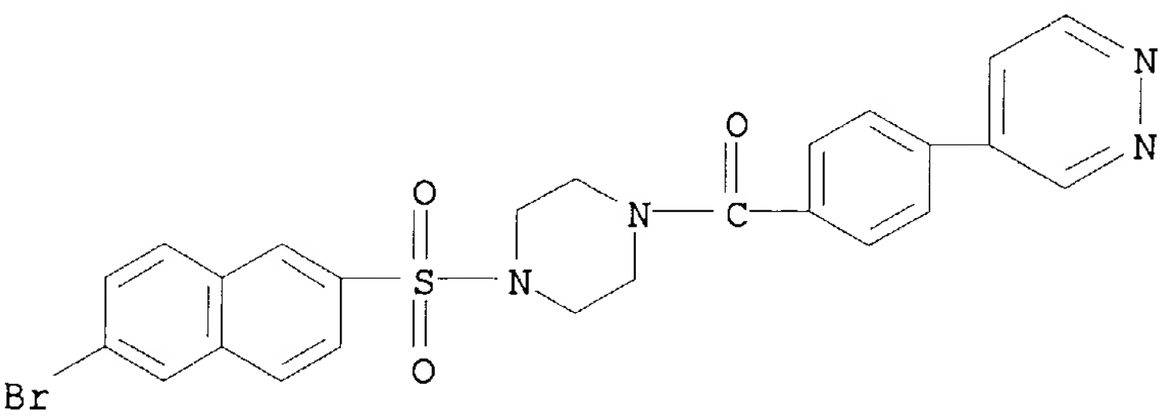
RN 207798-71-8 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



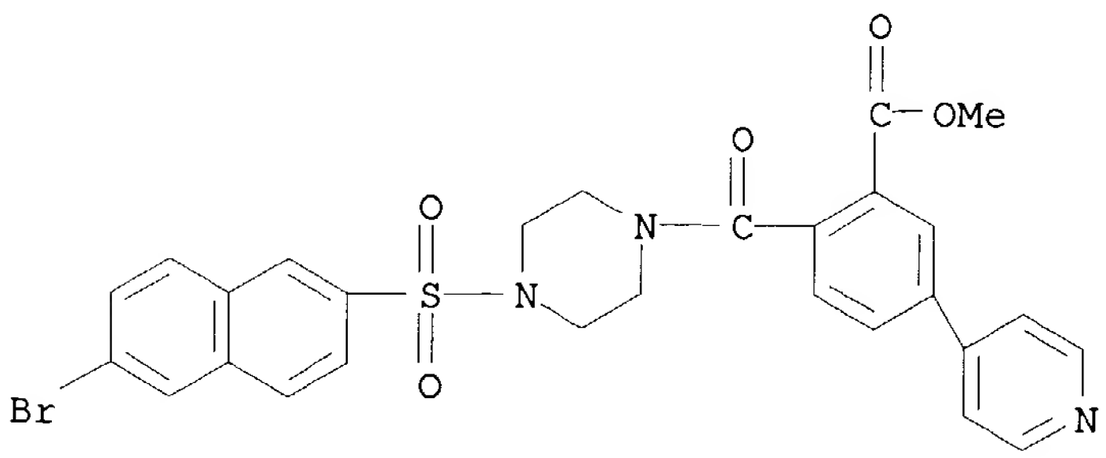
RN 207798-72-9 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(3-methyl-4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



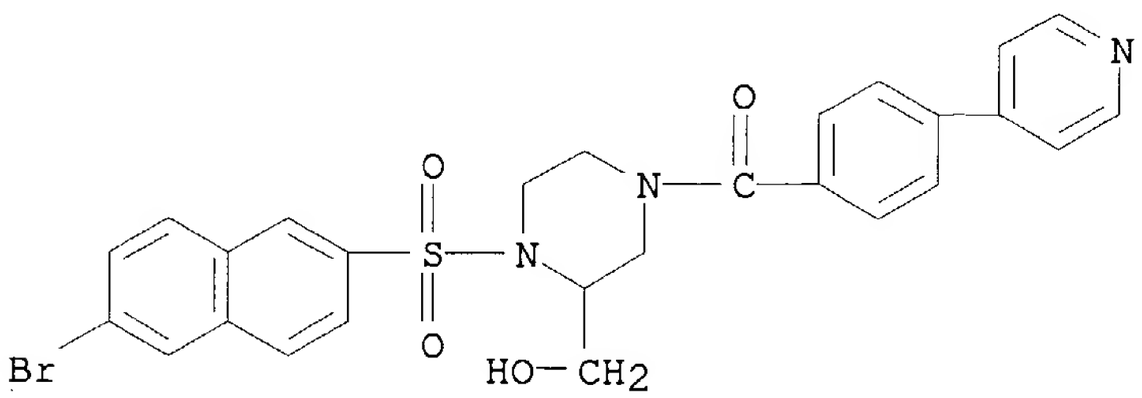
RN 207798-73-0 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



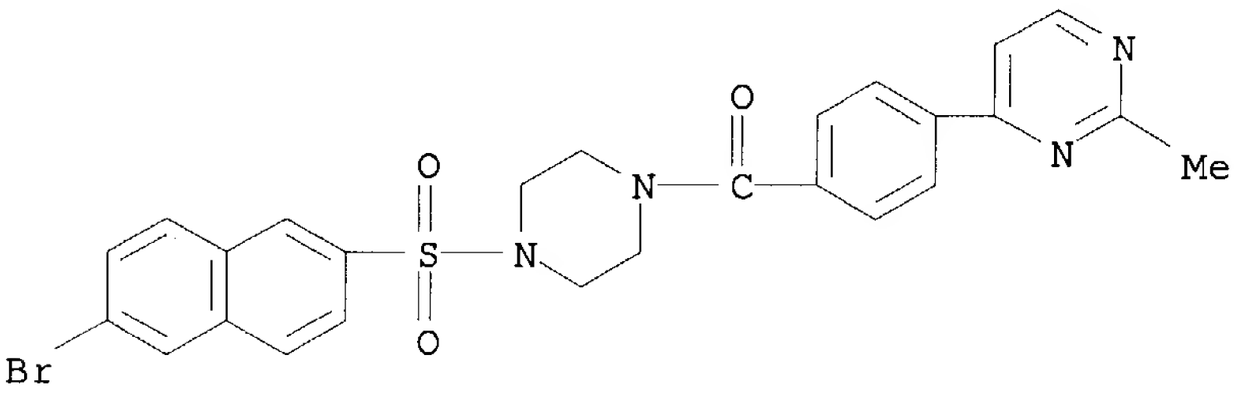
RN 207798-74-1 CAPLUS
CN Benzoic acid, 2-[[4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-5-(4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



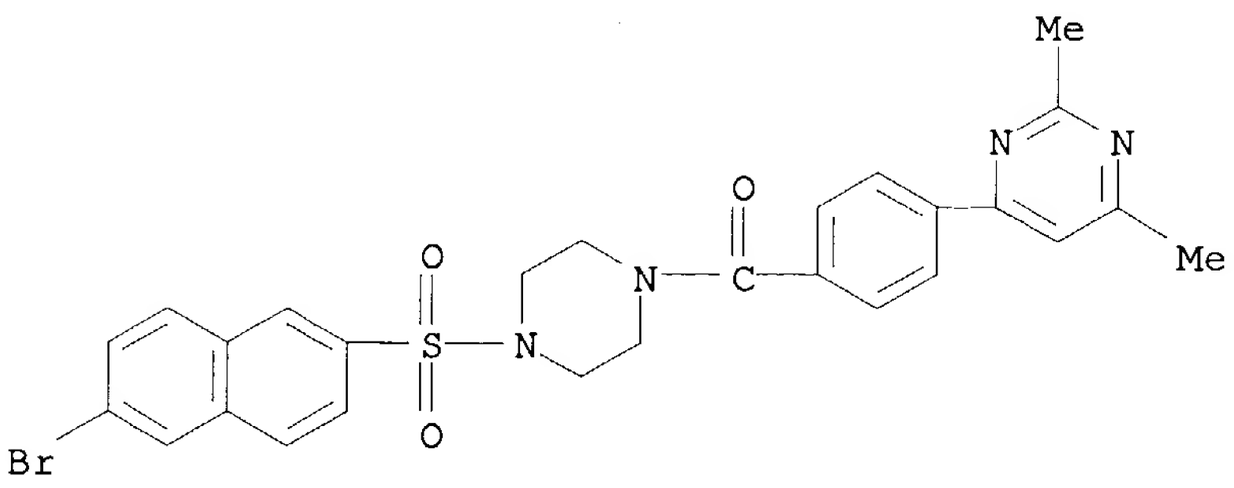
RN 207798-75-2 CAPLUS
CN 2-Piperazinemethanol, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



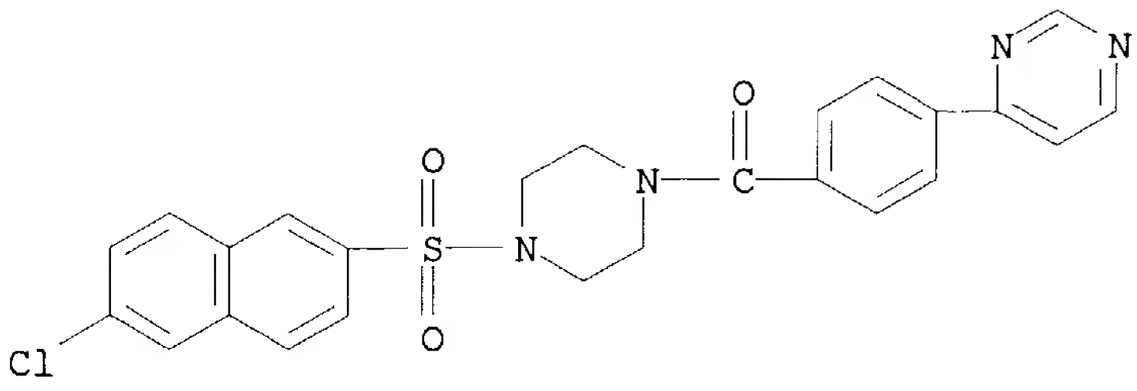
RN 207798-98-9 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(2-methyl-4-pyrimidinyl)benzoyl]- (9CI) (CA INDEX NAME)



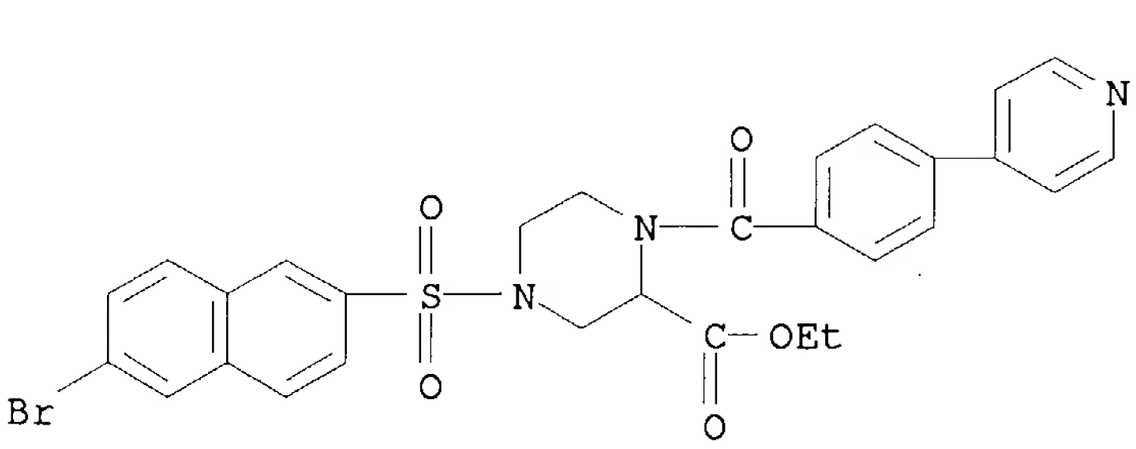
RN 207798-99-0 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(2,6-dimethyl-4-pyrimidinyl)benzoyl]- (9CI) (CA INDEX NAME)



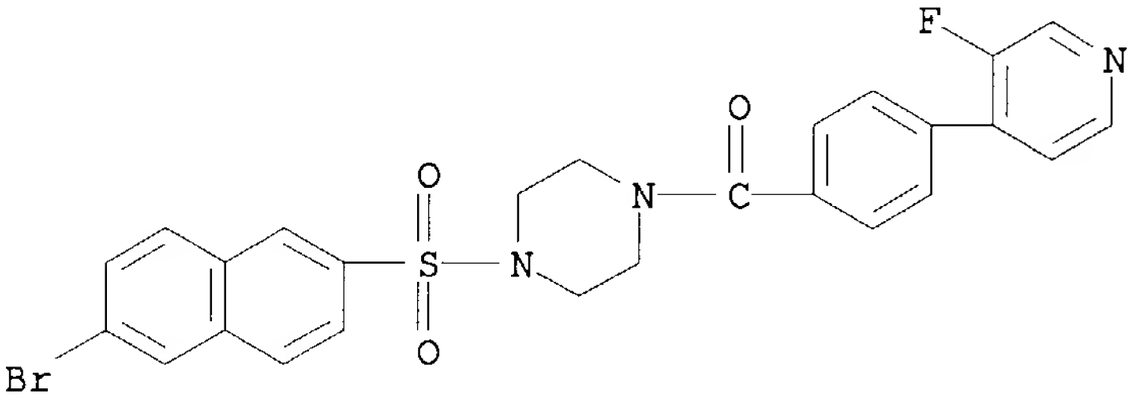
RN 207799-00-6 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(4-pyrimidinyl)benzoyl]- (9CI) (CA INDEX NAME)



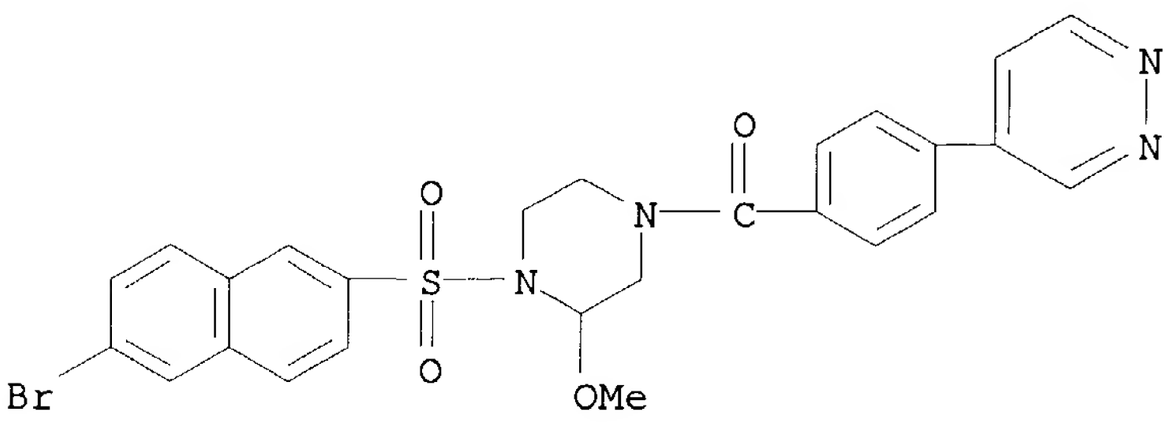
RN 207799-01-7 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[4-(4-pyridinyl)benzoyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 207799-02-8 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(3-fluoro-4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

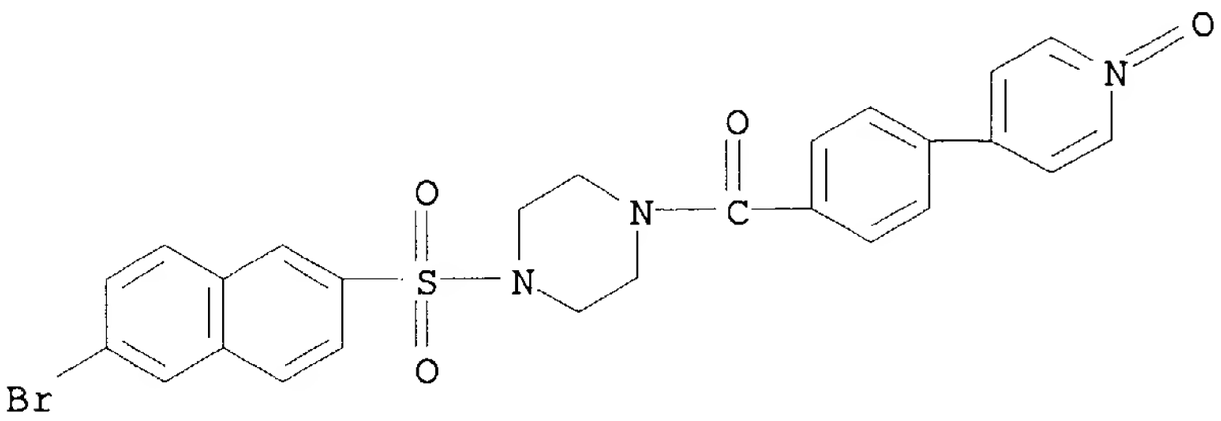


RN 207799-03-9 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-2-methoxy-4-[4-(4-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)

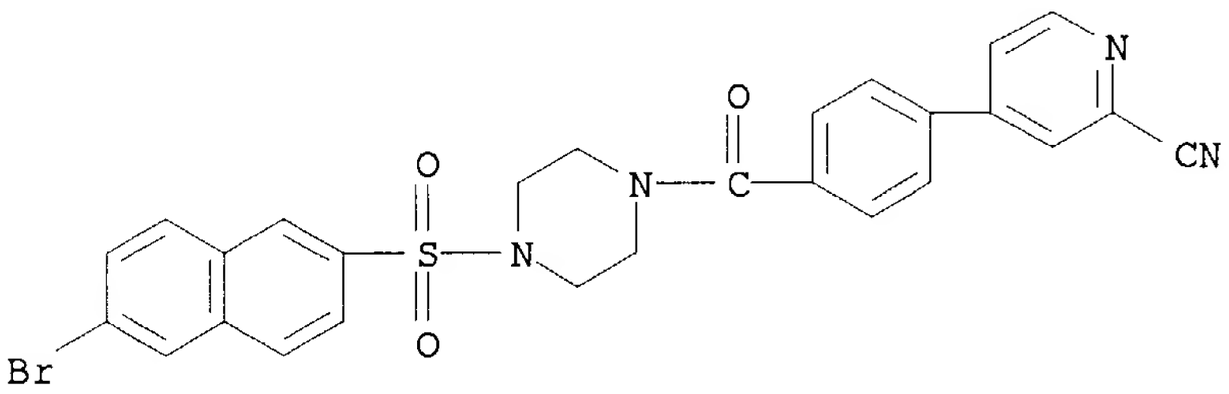


RN 207799-04-0 CAPLUS

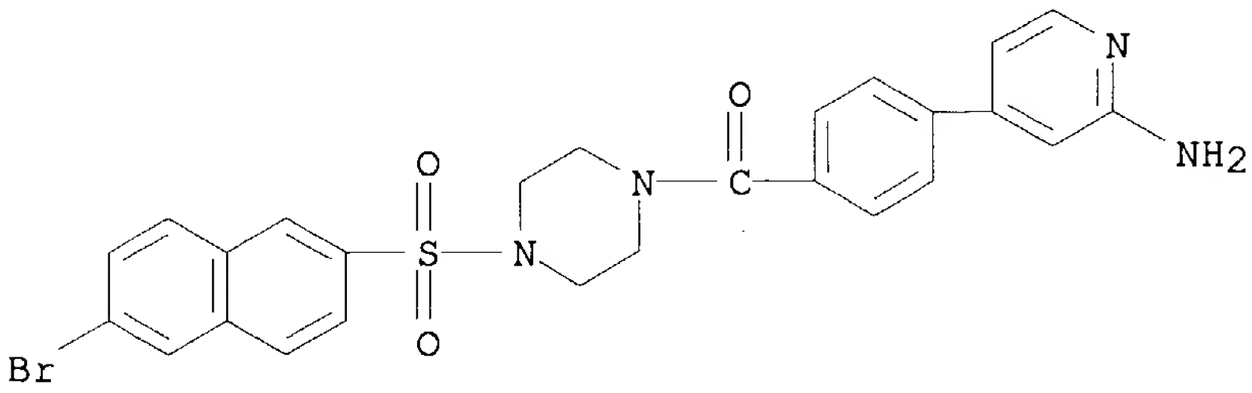
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(1-oxido-4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



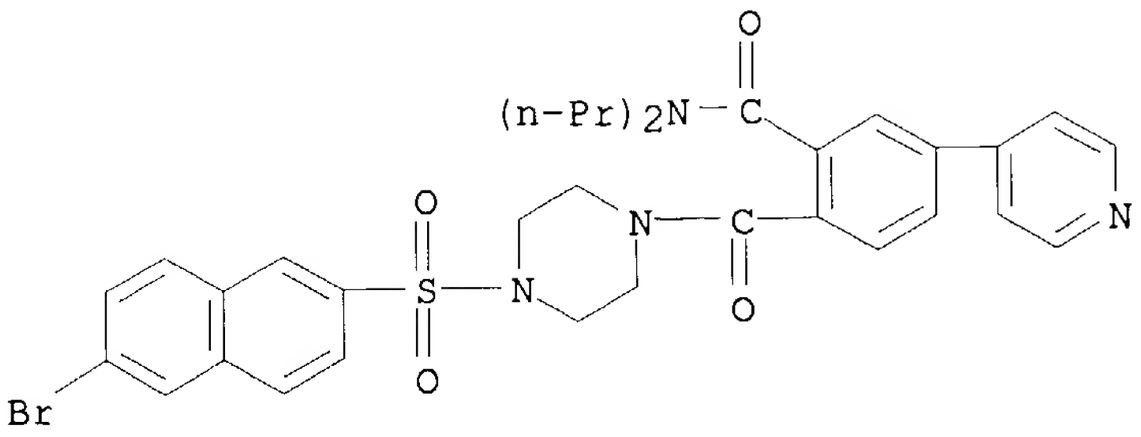
RN 207799-05-1 CAPLUS
CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(2-cyano-4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 207799-06-2 CAPLUS
CN Piperazine, 1-[4-(2-amino-4-pyridinyl)benzoyl]-4-[(6-bromo-2-naphthalenyl)sulfonyl]- (9CI) (CA INDEX NAME)

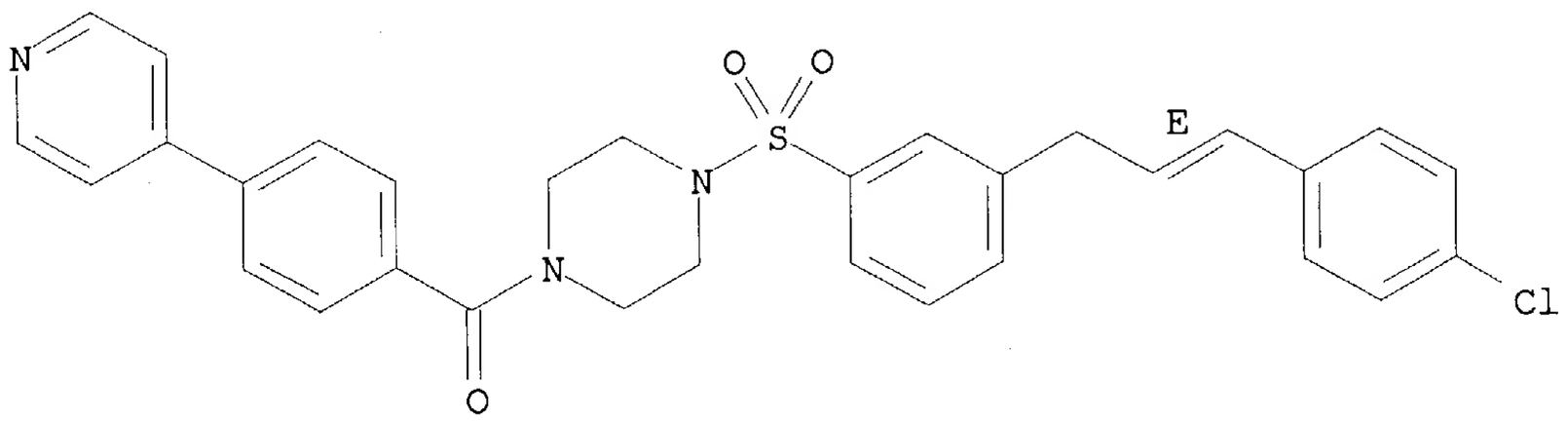


RN 207799-07-3 CAPLUS
CN Benzamide, 2-[[4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-N,N-dipropyl-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

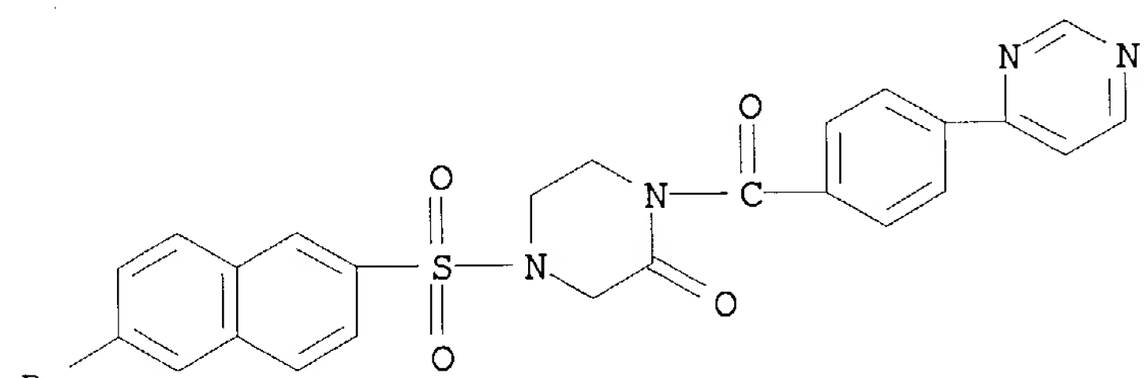


RN 207799-08-4 CAPLUS
CN Piperazine, 1-[[3-[(2E)-3-(4-chlorophenyl)-2-propenyl]phenyl]sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 207799-09-5 CAPLUS
CN Piperazinone, 4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[4-(4-pyrimidinyl)benzoyl]- (9CI) (CA INDEX NAME)



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